```
=> s l1
SAMPLE SEARCH INITIATED 15:32:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    779 TO ITERATE

100.0% PROCESSED     779 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        13906 TO    17254
PROJECTED ANSWERS:               1 TO       80

L2             1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:32:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  15374 TO ITERATE

100.0% PROCESSED   15374 ITERATIONS                              57 ANSWERS
SEARCH TIME: 00.00.01

L3            57 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.76     161.97

FILE 'CAPLUS' ENTERED AT 15:32:26 ON 29 MAY 2005
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FILE COVERS 1907 - 29 May 2005  VOL 142 ISS 23
FILE LAST UPDATED: 27 May 2005  (20050527/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4            25 L3

=> d l4 1-25 bib abs hitstr

L4   ANSWER 1 OF 25  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:612492  CAPLUS
DN   141:156959
TI   Preparation of β-lactam compounds as inhibitors of tryptase
IN   Bisacchi, Gregory S.; Sutton, James C.; Slusarchyk, William A.; Treuner,
```
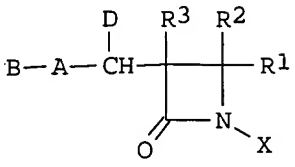

Uwe; Zhao, Guohua
PA USA
SO U.S. Pat. Appl. Publ., 109 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004147502	A1	20040729	US 2003-728276	20031204
PRAI US 2002-434060P	P	20021217		

OS MARPAT 141:156959
GI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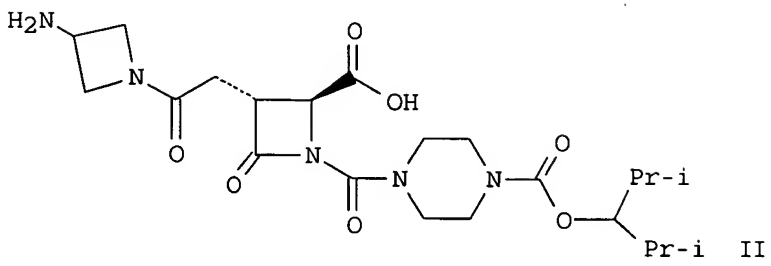
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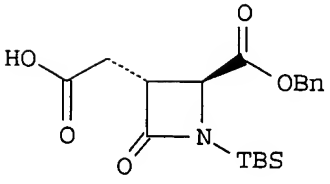
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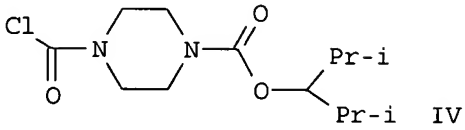

IV

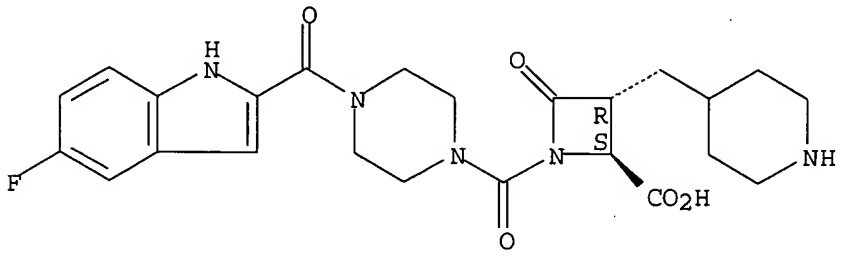
AB Beta lactam compds., such as I [R1 = H, carboxy, alkoxycarbonyl, alkenylaryl, CO-heterocyclyl, etc.; R2, R3 = H, alkyl; D = H, ORa; Ra = H, alkyl; A = CO-heterocyclyl, cycloheterocyclyl-CO, substituted amido, cycloalkyl, aryl, heteroaryl, cycloheteroalkyl; B = amino, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl, heteroaryl, alkylamino, carboxamido], are prepared Thus, II was prepared via a multistep synthetic sequence starting from [1-(diphenylmethyl)-3-azetidinyl]-carbamic acid-1,1-dimethylethyl ester, III, and piperazinyl derivative IV. These compds. are useful as inhibitors of tryptase, thrombin, trypsin, Factor Xa, Factor VIIa, and urokinase-type plasminogen activator and may be employed in preventing and/or treating asthma and allergic rhinitis.

IT **705962-19-2P 705962-20-5P 727725-29-3P**
727725-31-7P 727725-32-8P 727725-33-9P
727725-37-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-lactam compds. as tryptase inhibitors)

RN 705962-19-2 CAPLUS

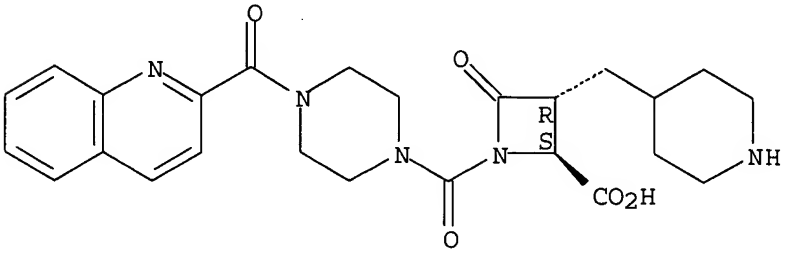
CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-fluoro-1H-indol-2-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



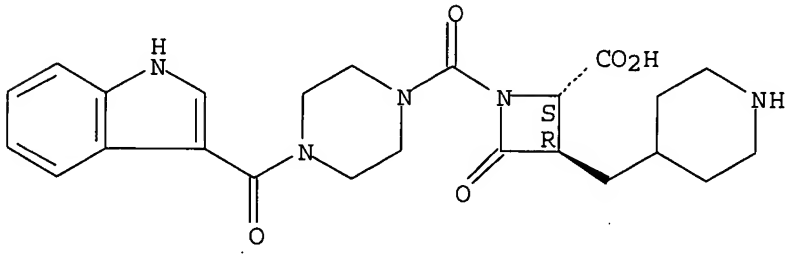
RN 705962-20-5 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



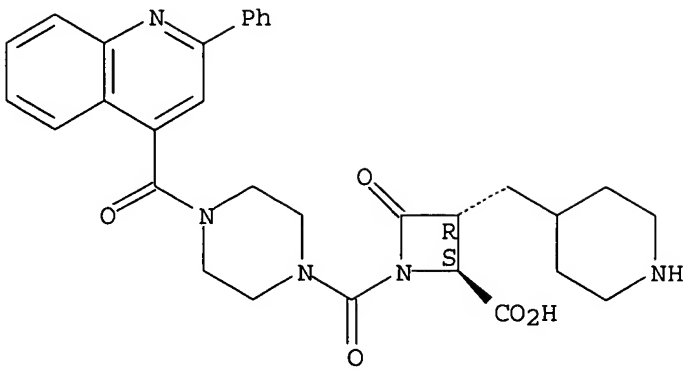
RN 727725-29-3 CAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1H-indol-3-ylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



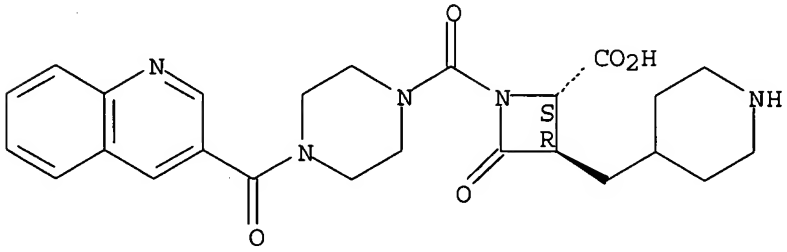
RN 727725-31-7 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-1-[[4-[(2-phenyl-4-quinolinyl)carbonyl]-1-piperazinyl]carbonyl]-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



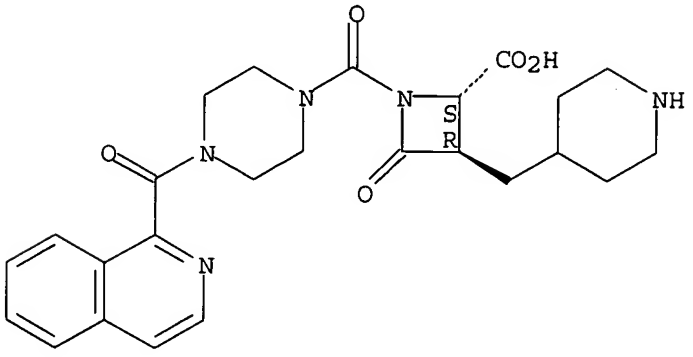
RN 727725-32-8 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(3-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



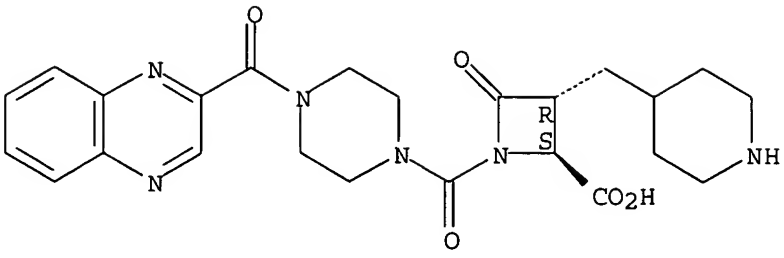
RN 727725-33-9 CAPLUS
CN 2-Azetidinecarboxylic acid, 1-[[4-(1-isoquinolinylcarbonyl)-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 727725-37-3 CAPLUS
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinoxalinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

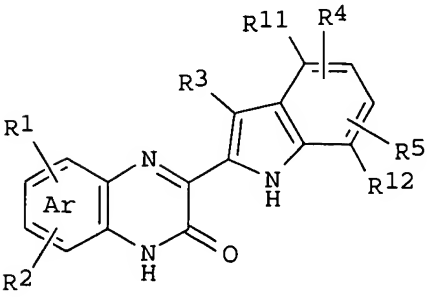
Absolute stereochemistry.



L4 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:430796 CAPLUS
DN 141:7139
TI Preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated with angiogenesis
IN Ladouceur, Gaetan H.; Bear, Brian; Bi, Cheng; Brittelli, David R.; Burke, Michael J.; Chen, Gang; Cook, James; Dumas, Jacques; Sibley, Robert; Turner, Michael R.
PA Bayer Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043950	A1	20040527	WO 2003-US36003	20031110
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2002-425490P	P	20021112		
	US 2003-460915P	P	20030407		
	US 2003-484202P	P	20030630		

OS MARPAT 141:7139
GI



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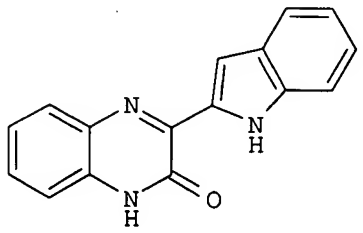


II

AB The invention relates to title compds. I [wherein Ar = 6-membered aromatic ring containing 0-2 N atoms; R1 and R2 = independently H, halo, CF3, acyl,

piperidinyl, piperazinyl, morpholinyl, or (un)substituted alkyl, alkoxy, amino, pyrrolidinyl, Ph, etc.; R3 = H, alkyl, OH, NO2, NH2, alkylamino, alkoxyamino, or (un)substituted benzoylamino; R4 = H, OH, halo, CN, acyl, sulfamoyl, trialkylsiloxy, tetrazolyl, thienyl, pyrrolyl, pyrimidinyl, oxazolyl, furanyl, or (un)substituted alkyl, alkenyl, alkynyl, alkoxy, amino, oxadiazolyl, Ph, pyridyl(oxy), carbamoyl; R11 and R12 = independently H, F, or Cl with the proviso that when one of R11 and R12 = F or Cl, the other must be H; and pharmaceutically acceptable salts and esters thereof]. The invention also relates to the use of I and their pharmaceutical compns. for treating hyperproliferative disorders and diseases associated with angiogenesis (no data). Examples include representative syntheses for compds. of the invention, pharmaceutical compns. comprising them, and tumor model assays (no specific data given). For instance, N-Boc-indole was coupled with di-Me oxalate using t-BuLi to give tert-Bu 2-[methoxy(oxo)acetyl]-1H-indole-1-carboxylate (72%). Cyclization of the dione with 1,2-phenylenediamine in AcOH afforded the quinoxalinone II (77%).

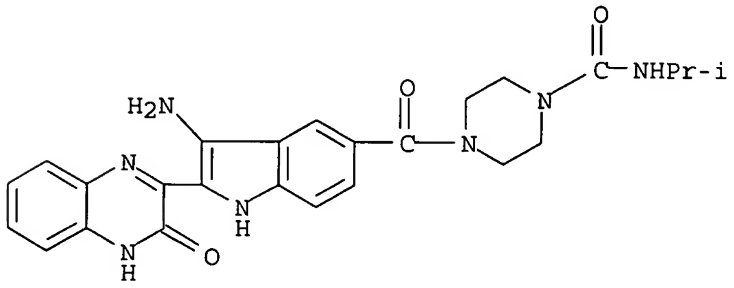
IT **694531-05-0P 694531-27-6P 694531-32-3P 694531-33-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiproliferative and angiogenesis inhibitor; preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated with angiogenesis)

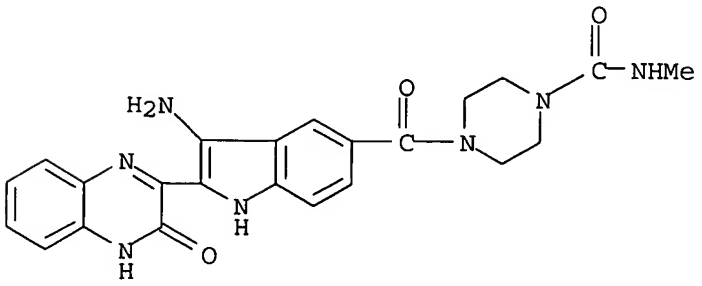
RN 694531-05-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[3-amino-2-(3,4-dihydro-3-oxo-2-quinoxalinyl)-1H-indol-5-yl]carbonyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



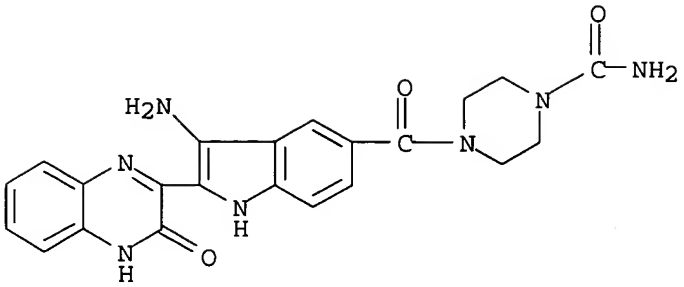
RN 694531-27-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[3-amino-2-(3,4-dihydro-3-oxo-2-quinoxalinyl)-1H-indol-5-yl]carbonyl]-N-methyl- (9CI) (CA INDEX NAME)

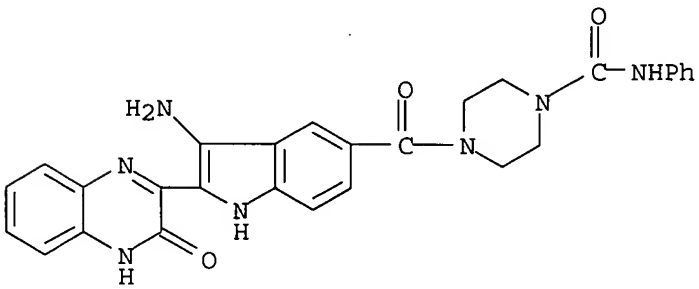


RN 694531-32-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[3-amino-2-(3,4-dihydro-3-oxo-2-quinoxalinyl)-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 694531-33-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[3-amino-2-(3,4-dihydro-3-oxo-2-quinoxalinyl)-1H-indol-5-yl]carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)

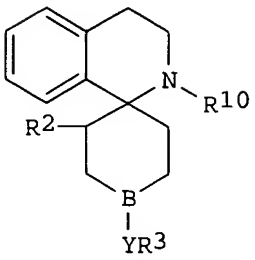


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:307614 CAPLUS
DN 140:332509
TI Pharmaceutical compositions containing spiroisoquinolines as small-conductance calcium-activated potassium channel (SK channel) blockers and acetylcholine esterase inhibitors
IN Takamuro, Iwao; Honma, Koichi; Ishida, Akihiko; Taniguchi, Hiroyuki; Onoda, Yuichi
PA Tanabe Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 334 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2004115450	A2	20040415	JP 2002-282311	20020927
PRAI	JP 2002-282311		20020927		

OS MARPAT 140:332509
GI



I

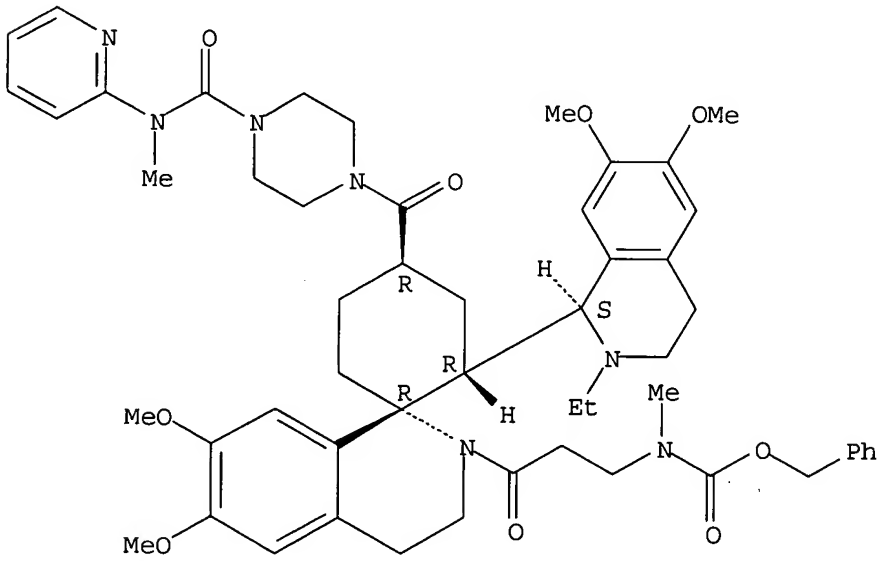
AB Title compns., useful for treatment of digestive tract function failure, central nervous disorders, myotonic dystrophy, etc., contain spiroisoquinolines I [ring A may be substituted; R10 = H, ZR1; R1 = H, (un)substituted lower alkyl, (un)substituted lower alkenyl; Y, Z = CH2, CO; R2 H, (un)substituted heterocyclyl; B = N, CH; R3 = (un)substituted NH2, (un)substituted N-containing aliphatic heterocyclyl] or their pharmacol. acceptable salts as active ingredients. Thus, (1R*,2R*(S*),4R*)-2'-[3-(methylamino)propionyl]-3',4'-dihydro-6',7'-dimethoxy-2-(2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolyl)-4-[4-[1-(4-pyridylmethyl)-1H-pyrazolol-[3,4-d]pyrimidin-4-yl]-1-piperazinyl]carbonyl-spiro[cyclohexane-1,1'(2'H)isoquinoline] difumarate inhibited binding of 125I-apamin to SK channel in guinea pigs with IC50 value of 0.05 μM.

IT **470428-92-3P 470430-28-5P 470430-69-4P 470431-27-7P 470438-82-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroisoquinolines as small-conductance Ca2+-activated K+ channel blockers and acetylcholine esterase inhibitors for treatment of diseases)

RN 470428-92-3 CAPLUS

CN Carbamic acid, [3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-[(methyl-2-pyridinylamino)carbonyl]-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methyl-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

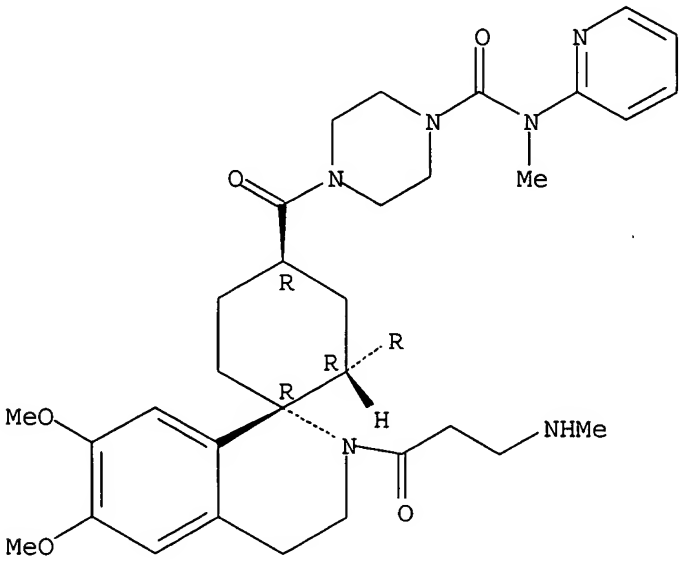
Relative stereochemistry.



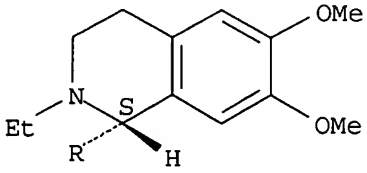
RN 470430-28-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-4-yl]carbonyl]-N-methyl-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

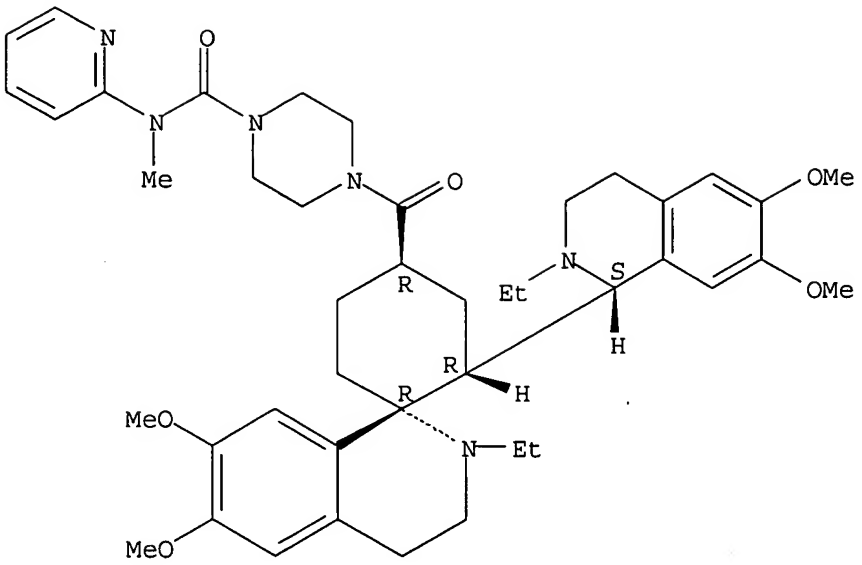


PAGE 2-A



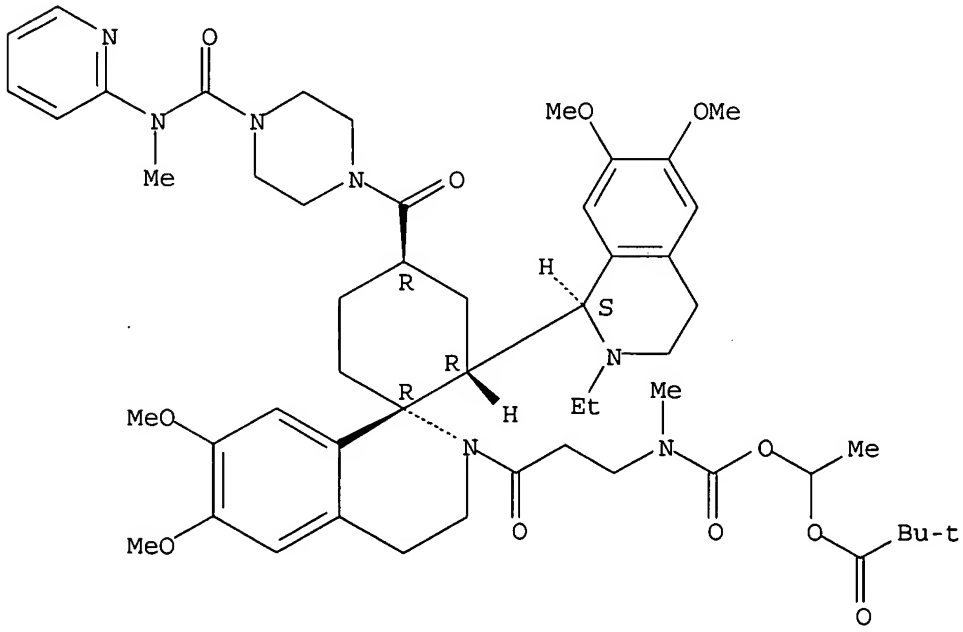
RN 470430-69-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[(1R,2R,4R)-2'-ethyl-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxyspiro[cyclohexane-1,1'(2'H)-isoquinolin]-4-yl]carbonyl]-N-methyl-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 470431-27-7 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-[[[[3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-[(methyl-2-pyridinylamino)carbonyl]-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methylamino]carbonyl]oxy]ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



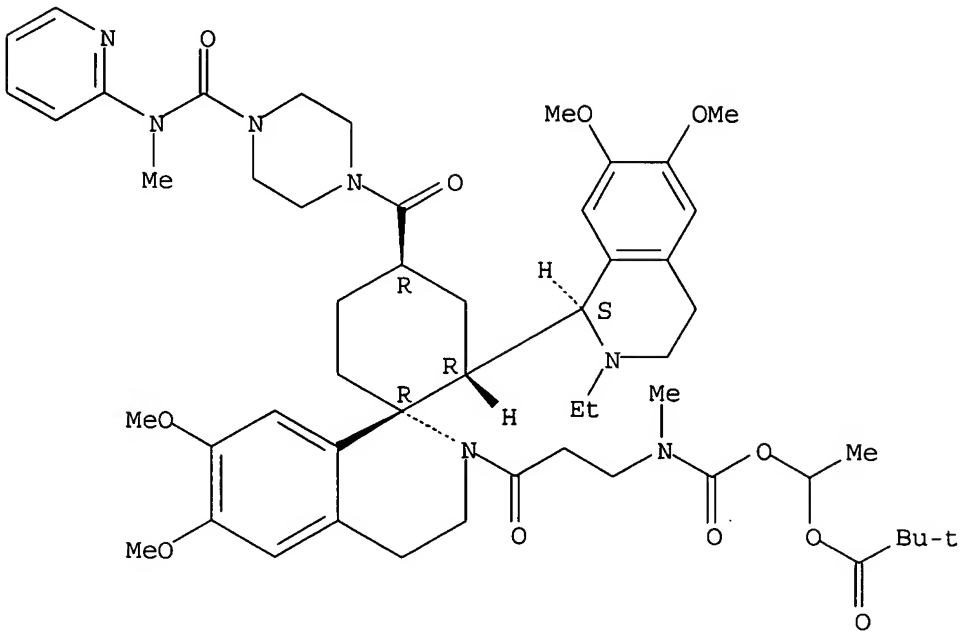
RN 470438-82-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-[[[[3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-[(methyl-2-pyridinylamino)carbonyl]-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methylamino]carbonyl]oxy]

ethyl ester, rel-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 470431-27-7
CMF C53 H73 N7 O11

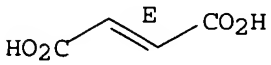
Relative stereochemistry.



CM 2

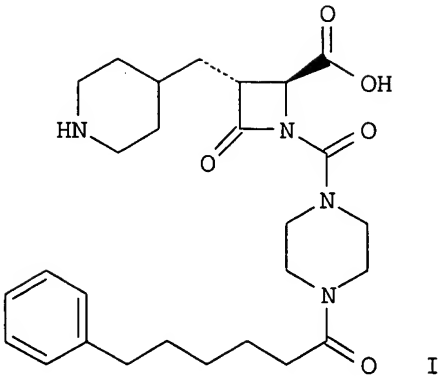
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:303297 CAPLUS
DN 141:54096
TI Solid-phase synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors
AU Sutton, James C.; Bolton, Scott A.; Davis, Malcolm E.; Hartl, Karen S.; Jacobson, Bruce; Mathur, Arvind; Ogletree, Martin L.; Slusarchyk, William A.; Zahler, Robert; Seiler, Steven M.; Bisacchi, Gregory S.
CS The Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2233-2239
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:54096

GI



I

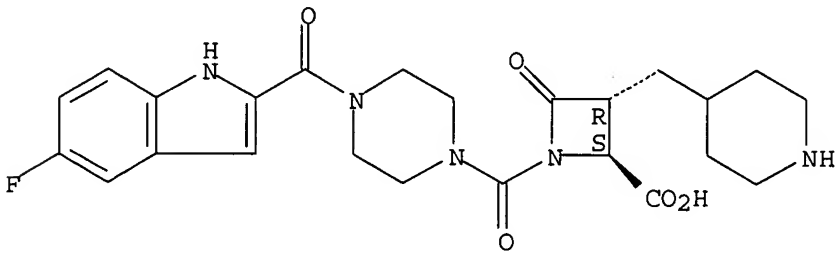
AB A series of non-guanidine N1-activated C4-carboxy azetidinone tryptase inhibitors, e.g. I, was prepared by solid-phase methodol. to quickly assess the SAR associated with distal functionality on the N1-activating group. From these studies, potent inhibitors with improved specificity were discovered.

IT **705962-19-2P 705962-20-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis and SAR of 4-carboxy-2-azetidinone mechanism-based tryptase inhibitors)

RN 705962-19-2 CAPLUS

CN 2-Azetidinecarboxylic acid, 1-[[4-[(5-fluoro-1H-indol-2-yl)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

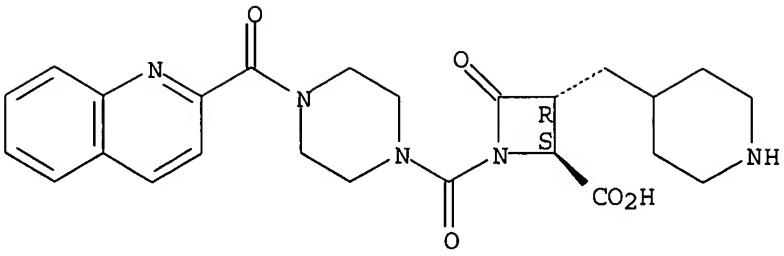
Absolute stereochemistry.



RN 705962-20-5 CAPLUS

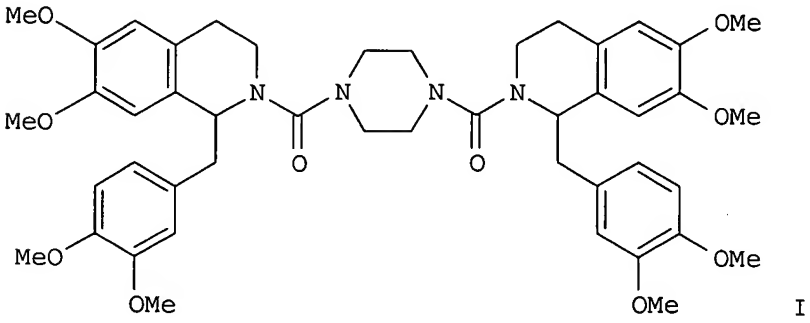
CN 2-Azetidinecarboxylic acid, 4-oxo-3-(4-piperidinylmethyl)-1-[[4-(2-quinolinylcarbonyl)-1-piperazinyl]carbonyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:262725 CAPLUS
DN 140:406722
TI Synthesis and antispasmodic activity evaluation of bis-(papaverine) analogues
AU Kaur, Jaskiran; Ghosh, Narendra Nath; Chandra, Ramesh
CS Department of Chemistry, University of Pennsylvania, Philadelphia, PA, 19104, USA
SO Chemical & Pharmaceutical Bulletin (2004), 52(3), 316-321
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
GI

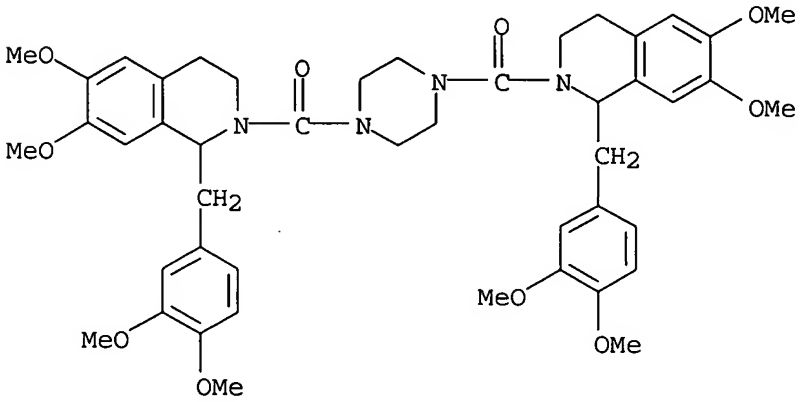


I

AB A new series of N-substituted bis-(tetrahydropapaverine) ring systems have been synthesized in expectation of better antispasmodic activity in comparison with papaverine. The synthesis of the targeted heterocycles is described along with a discussion of their structure activity relationship. The general synthetic methods of bis-(tetrahydropapaverine) analogs involve tetrahydropapaverine, various piperazines, diisocyanates and diisothiocyanates as starting materials. Pharmacol. evaluation involves the in vitro antispasmodic activity on a freshly removed guinea pig ileum using a force displacement transducer amplifier connected to a physiograph. Among the analogs synthesized in the present study, N,N'-bis-[2-carbamoyl-1-(3,4-dimethoxybenzyl)-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolinyl]piperazine (I), was found to be the most potent muscle relaxant (IC50: 0.31 μM).

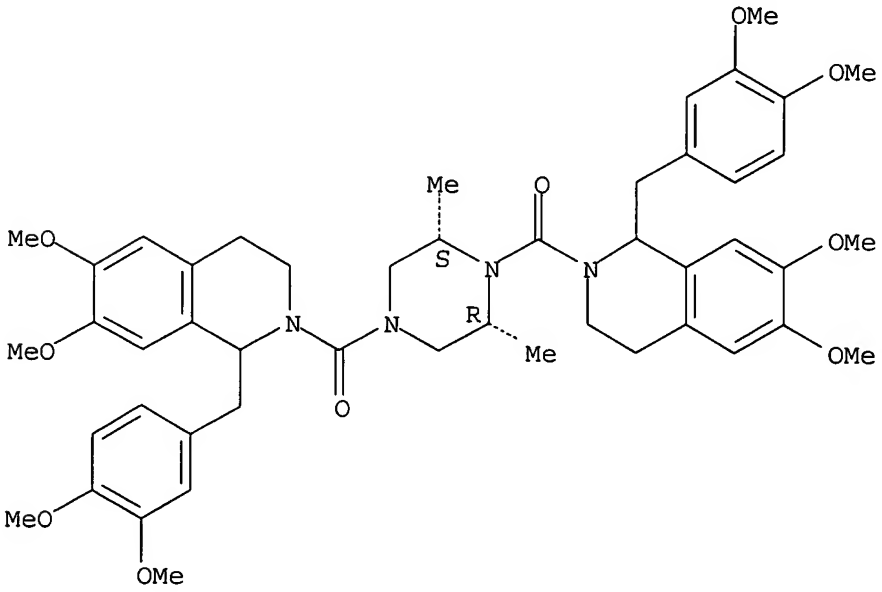
IT **690630-57-0P 690630-58-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antispasmodic activity evaluation of bis(papaverine)

analogs)
RN 690630-57-0 CAPLUS
CN Isoquinoline, 2,2'-(1,4-piperazinediyldicarbonyl)bis[1-[(3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)



RN 690630-58-1 CAPLUS
CN Isoquinoline, 2,2'-[[(2R,6S)-2,6-dimethyl-1,4-piperazinediyl]dicarbonyl]bis[1-[(3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:101128 CAPLUS
DN 140:146167
TI Preparation of indolyl-, azaindolyl-, and related heterocyclic ureido and thioureido piperazines for treatment of HIV and AIDS
IN Regueiro-Ren, Alicia; Xue, Qiufen May; Kadow, John F.; Taylor, Malcolm

PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004011425	A2	20040205	WO 2003-US22735	20030722
	WO 2004011425	A3	20040624		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004063746	A1	20040401	US 2003-622687	20030718

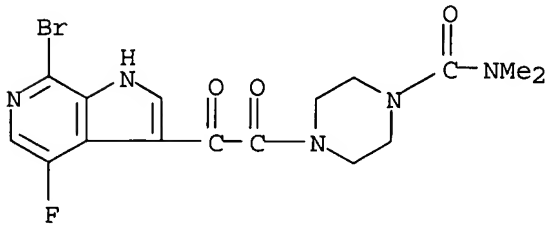
PRAI US 2002-398812P P 20020725
OS MARPAT 140:146167
GI

Apps

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [Y = O or S; Z = C or N; A = (substituted)amino; R1 = H, OMe, or halo; R2, R4 = H, halo, cyano, nitro etc.; R3 = H, halo, cyano, nitro, etc, when Z = C; R3 = O or does not exist when Z = N; R5 = H or Me; R6, R7, R8, R9, R10, R11, R12, R13 = H or alkyl] were prepared for treatment of HIV and AIDS. Thus, reaction of 1-(4-fluoro-7-methoxycarbonyl-1H-indol-3-yloxoacetyl)piperazine hydrochloride (preparation given) with dimethylcarbamoyl chloride yielded compound II. The prepared compds. were assayed for inhibition against HIV-1 in HeLa cells and were classified with activity of EC50 < 1 μM, 1 μM < EC50 < 5 μM, or EC50 > 5 μM.

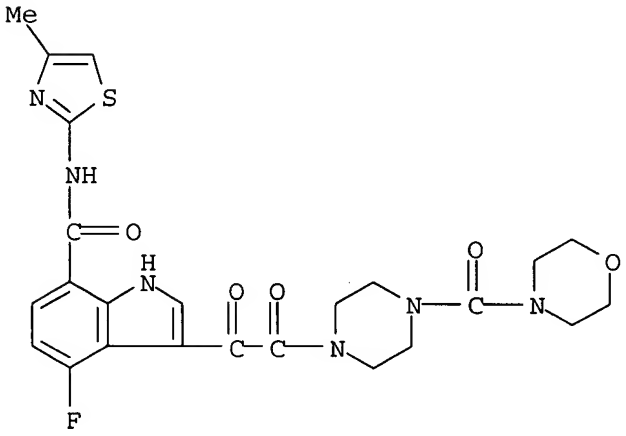
IT **652160-66-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indolyl-, azaindolyl-, and related heterocyclic ureido and thioureido piperazines for treatment of HIV and AIDS)
RN 652160-66-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-[(7-bromo-4-fluoro-1H-pyrrolo[2,3-c]pyridin-3-yl)oxoacetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



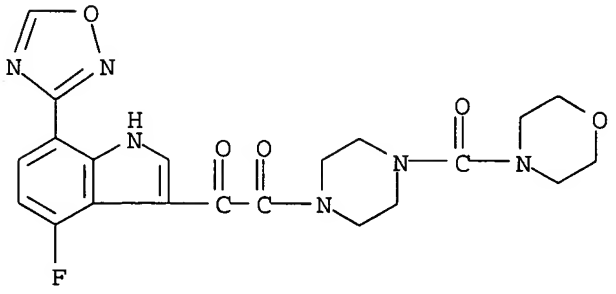
IT **509072-94-0P 509073-22-7P 652160-57-1P**

652160-58-2P 652160-60-6P 652160-61-7P
652160-62-8P 652160-63-9P 652160-65-1P
652160-67-3P 652160-68-4P 652160-69-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyl-, azaindolyl-, and related heterocyclic ureido and thioureido piperazines for treatment of HIV and AIDS)

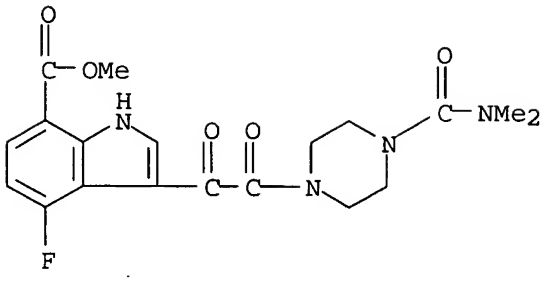
RN 509072-94-0 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-N-(4-methyl-2-thiazolyl)-3-[[4-(4-morpholinylcarbonyl)-1-piperazinyl]oxoacetyl]- (9CI) (CA INDEX NAME)



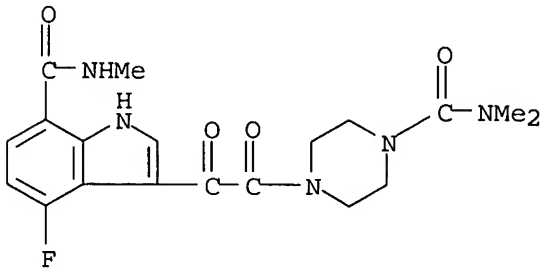
RN 509073-22-7 CAPLUS
CN Morpholine, 4-[[4-[[4-fluoro-7-(1,2,4-oxadiazol-3-yl)-1H-indol-3-yl]oxoacetyl]-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



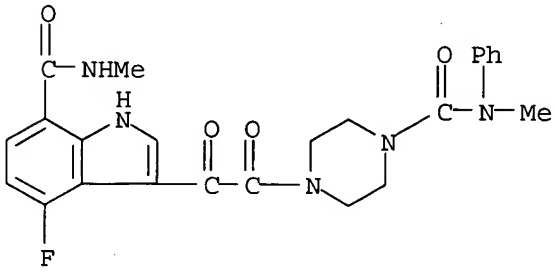
RN 652160-57-1 CAPLUS
CN 1H-Indole-7-carboxylic acid, 3-[[4-[(dimethylamino)carbonyl]-1-piperazinyl]oxoacetyl]-4-fluoro-, methyl ester (9CI) (CA INDEX NAME)



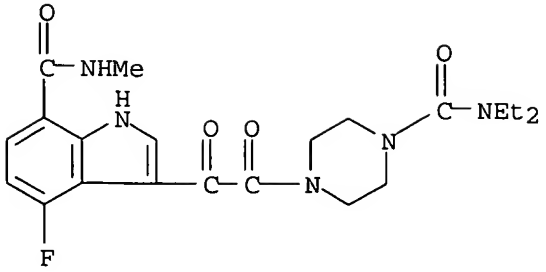
RN 652160-58-2 CAPLUS
CN 1H-Indole-7-carboxamide, 3-[[4-[(dimethylamino)carbonyl]-1-piperazinyl]oxoacetyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



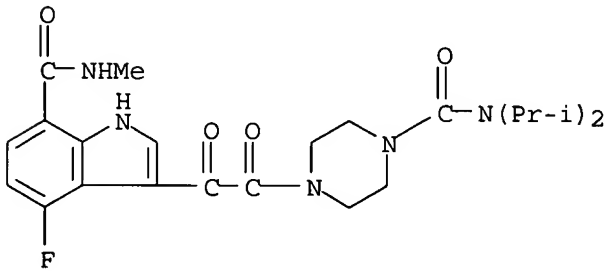
RN 652160-60-6 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-N-methyl-3-[[4-[(methylphenylamino)carbonyl]-1-piperazinyl]oxoacetyl]- (9CI) (CA INDEX NAME)



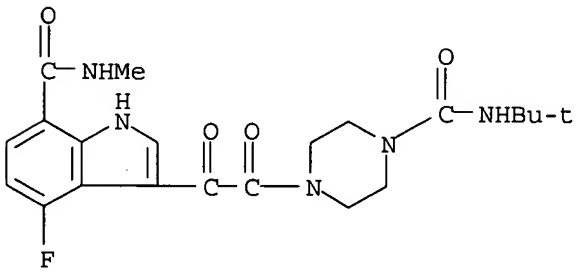
RN 652160-61-7 CAPLUS
CN 1H-Indole-7-carboxamide, 3-[[4-[(diethylamino)carbonyl]-1-piperazinyl]oxoacetyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



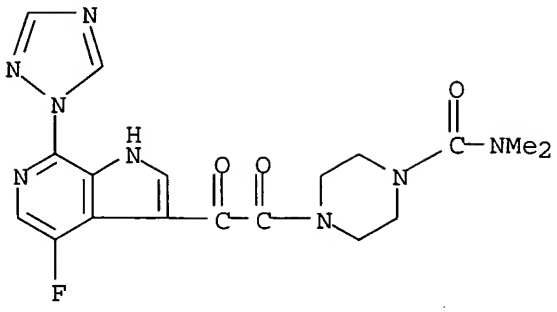
RN 652160-62-8 CAPLUS
CN 1H-Indole-7-carboxamide, 3-[[4-[[bis(1-methylethyl)amino]carbonyl]-1-piperazinyl]oxoacetyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



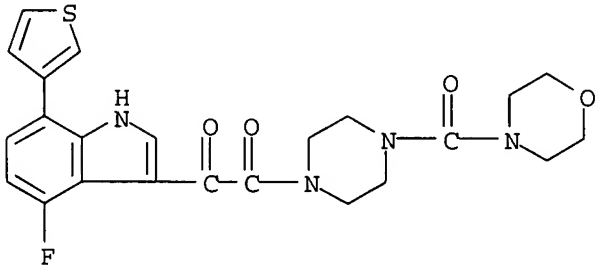
RN 652160-63-9 CAPLUS
CN 1H-Indole-7-carboxamide, 3-[[4-[[(1,1-dimethylethyl)amino]carbonyl]-1-piperazinyl]oxoacetyl]-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



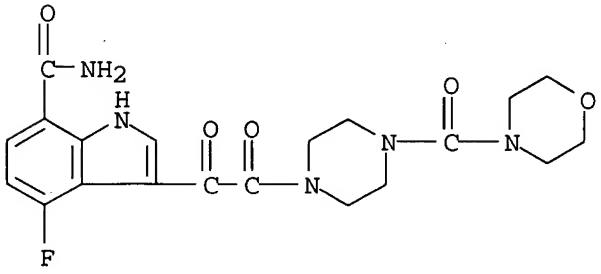
RN 652160-65-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[4-fluoro-7-(1H-1,2,4-triazol-1-yl)-1H-pyrrolo[2,3-c]pyridin-3-yl]oxoacetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



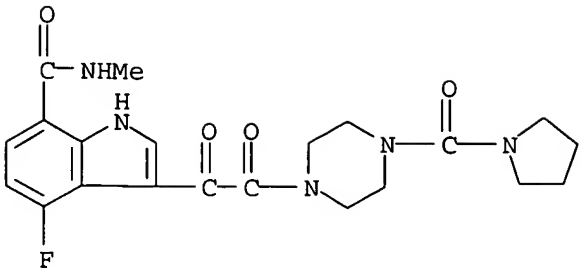
RN 652160-67-3 CAPLUS
CN Morpholine, 4-[[4-[[4-fluoro-7-(3-thienyl)-1H-indol-3-yl]oxoacetyl]-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 652160-68-4 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-3-[[4-(4-morpholinylcarbonyl)-1-piperazinyl]oxoacetyl]- (9CI) (CA INDEX NAME)



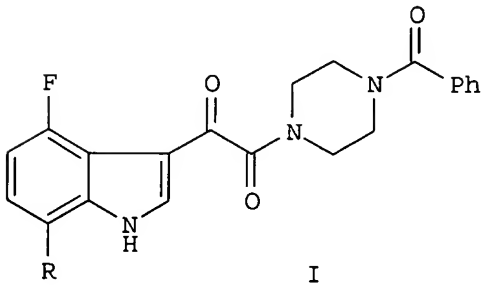
RN 652160-69-5 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-N-methyl-3-[oxo[4-(1-pyrrolidinylcarbonyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:282118 CAPLUS
DN 138:304300
TI Preparation and antiviral activity of substituted piperazinyloxoacetylindole derivatives
IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
PA USA
SO U.S. Pat. Appl. Publ., 182 pp., Cont.-in-part of U.S. Ser. No. 888,686.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003069245	A1	20030410	US 2001-27612	20011219
	US 6573262	B2	20030603		
PRAI	US 2000-217444P	P	20000710		
	US 2001-265978P	P	20010202		
	US 2001-888686	A2	20010625		

OS MARPAT 138:304300
GI

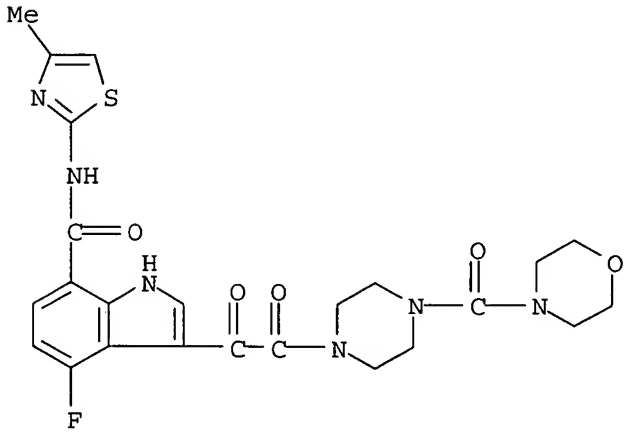


I

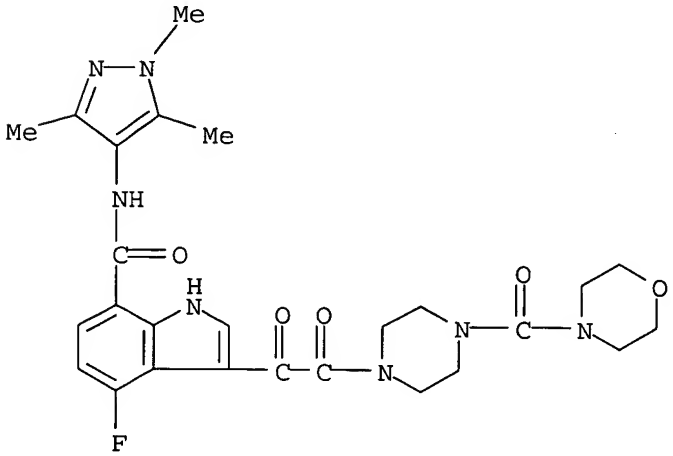
AB Piperazinyloxoacetylindole derivs., e.g. I (R = Ph), were prepared and tested as human antiviral agents, specifically to be used for treating HIV and AIDS. Thus, bromoindole I (R = Br) (II) reacted with tri-n-butylphenyltin to give I (R = Ph). Furthermore, II was prepared by reacting 2-bromo-5-fluoronitrobenzene with vinylmagnesium bromide, which gave 4-fluoro-7-bromoindole. The latter compound was then added to Et chlorooxoacetate to give the acylated adduct which was hydrolyzed to the acid and aminated with N-benzoylpiperazine. Testing of these compds. indicated that they possess unique antiviral activity; and they are proposed to be used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors.

IT **509072-94-0P 509073-13-6P 509073-22-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyloxoacetylindole derivs. and their use as human antiviral, antiinfective, anti-HIV, anti-AIDS, and immunomodulator agents)

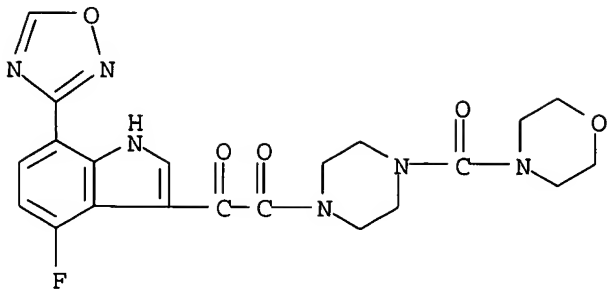
RN 509072-94-0 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-N-(4-methyl-2-thiazolyl)-3-[[4-(4-morpholinylcarbonyl)-1-piperazinyl]oxoacetyl]- (9CI) (CA INDEX NAME)



RN 509073-13-6 CAPLUS
CN 1H-Indole-7-carboxamide, 4-fluoro-3-[[4-(4-morpholinylcarbonyl)-1-piperazinyl]oxoacetyl]-N-(1,3,5-trimethyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 509073-22-7 CAPLUS
CN Morpholine, 4-[[4-[[4-fluoro-7-(1,2,4-oxadiazol-3-yl)-1H-indol-3-yl]oxoacetyl]-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:777925 CAPLUS
DN 137:294881
TI A spiroisoquinoline compound, useful as an SK channel blocker and acetylcholinesterase inhibitor, for treatment of, e.g., constipation, a method for preparing the same, and an intermediate thereof
IN Takamuro, Iwao; Homma, Koichi; Ishida, Akihiko; Taniguchi, Hiroyuki; Onoda, Yuichi
PA Tanabe Seiyaku Co., Ltd., Japan
SO PCT Int. Appl., 464 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002079189	A2	20021010	WO 2002-JP3051	20020328
	WO 2002079189	A3	20030703		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2003252871	A2	20030910	JP 2002-92220	20020328
	EP 1373247	A2	20040102	EP 2002-708702	20020328
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004106635	A1	20040603	US 2003-473064	20030926
PRAI	JP 2001-94710	A	20010329		
	JP 2001-189010	A	20010622		
	JP 2001-326866	A	20011024		
	WO 2002-JP3051	W	20020328		

OS MARPAT 137:294881
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a novel spiroisoquinoline derivative, which has a small-conductance potassium channel (SK) blocking activity and is useful as a medicament, a method for preparing the same, and an intermediate

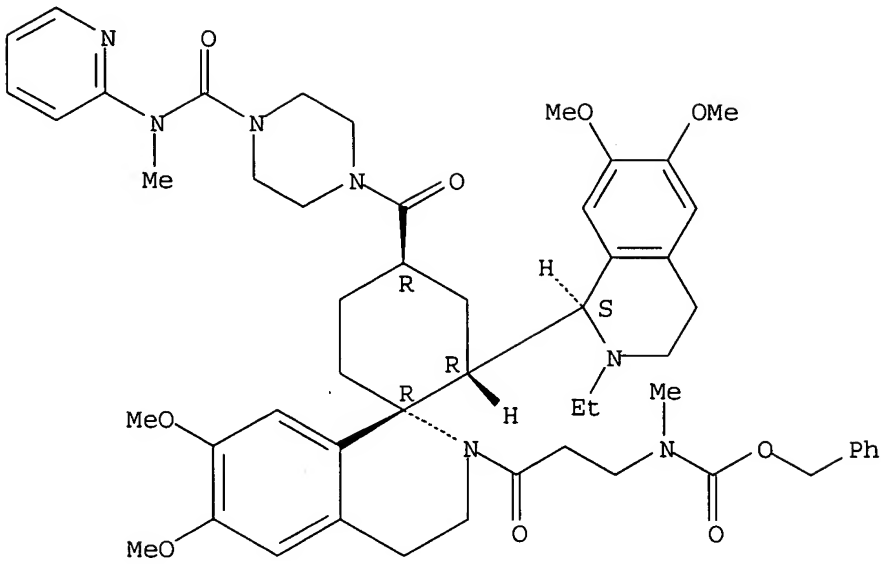
thereof. Specifically, the invention provides spirocyclic compds. I and their pharmaceutically acceptable salts [wherein: the benzo ring of the isoquinoline subunit is optionally substituted; R1 = H or -ZR; R = H, optionally substituted lower alkyl,or optionally substituted lower alkenyl; Z = CH2 or CO; R2 = H or optionally substituted heterocyclic group; X = N or CH; R3 =optionally substituted amino or N-containing aliphatic heterocyclic group; Y = CH2 or CO]. The compds. are useful for prophylaxis or treatment of conditions treatable with SK channel blockers, including constipation, irritable bowel syndrome, gastroesophageal reflux disease, and post-operative ileus. They are also useful for treatment of conditions responsive to compds. with both SK channel-blocking and acetylcholinesterase-inhibiting activities, such as gastrointestinal motility disorders, CNS disorders, memory and learning disorders (including Alzheimer's disease), emotional disorders, myotonic muscular dystrophy, and sleep apnea. Over 900 specific examples of I are given. For instance, di-Et malonate was bis-alkylated with tert-Bu acrylate and partially hydrolyzed, giving 4,4-bis(ethoxycarbonyl)pimelic acid. This was bis-amidated with 2 equiv of homoveratrylamine, and the diamide was bis-cyclized using POCl3 to give spirocyclic intermediate II. The latter was converted in 7 steps to acid III, which was condensed with 2-amino-4-(piperazin-1-yl)pyridine to give title compound IV. Selected compds. I inhibited 125I-apamine binding to guinea pig colon membrane cells with IC50 values of 0.004 to 0.06 μM. Other compds. I inhibited acetylcholinesterase in vitro with IC50 values of 0.00008 to 0.06 μM. The oral ED of selected I for promoting evacuation in guinea pigs was 0.1 to 1 mg/kg.

IT **470428-92-3P 470430-28-5P 470430-69-4P 470431-27-7P 470438-82-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of spiroisoquinoline compds. as SK channel blockers and acetylcholinesterase inhibitors for treatment of constipation)

RN 470428-92-3 CAPLUS

CN Carbamic acid, [3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-[(methyl-2-pyridinylamino)carbonyl]-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methyl-, phenylmethyl ester, rel- (9CI) (CA INDEX NAME)

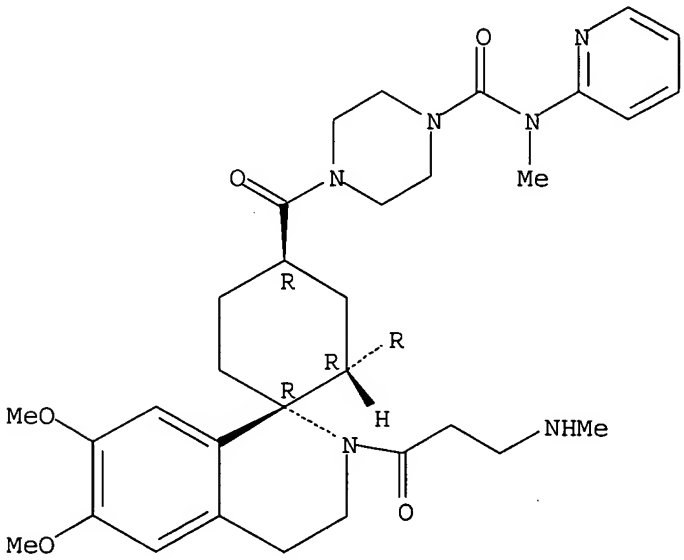
Relative stereochemistry.

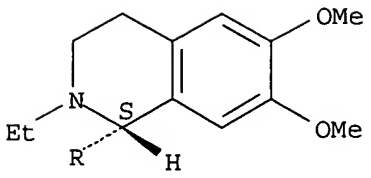


RN 470430-28-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-2'-[3-(methylamino)-1-oxopropyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-4-yl]carbonyl]-N-methyl-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

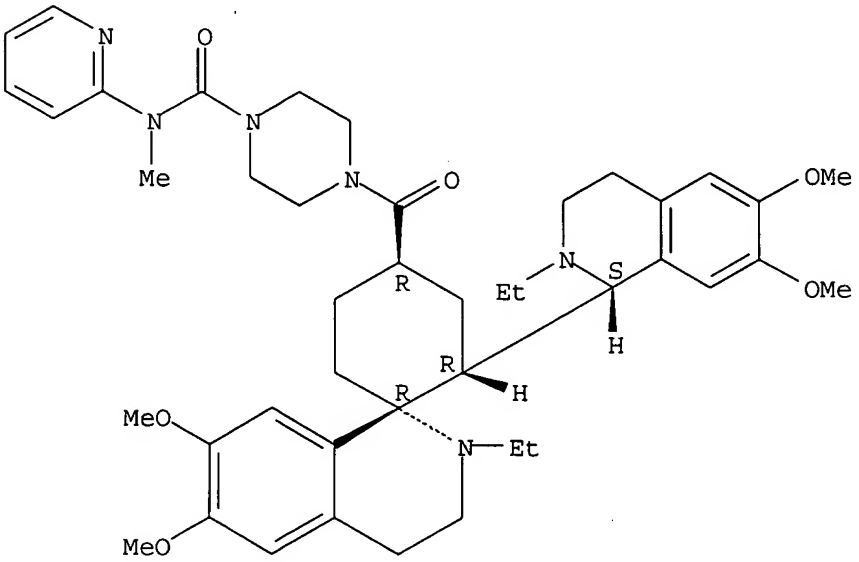
PAGE 1-A





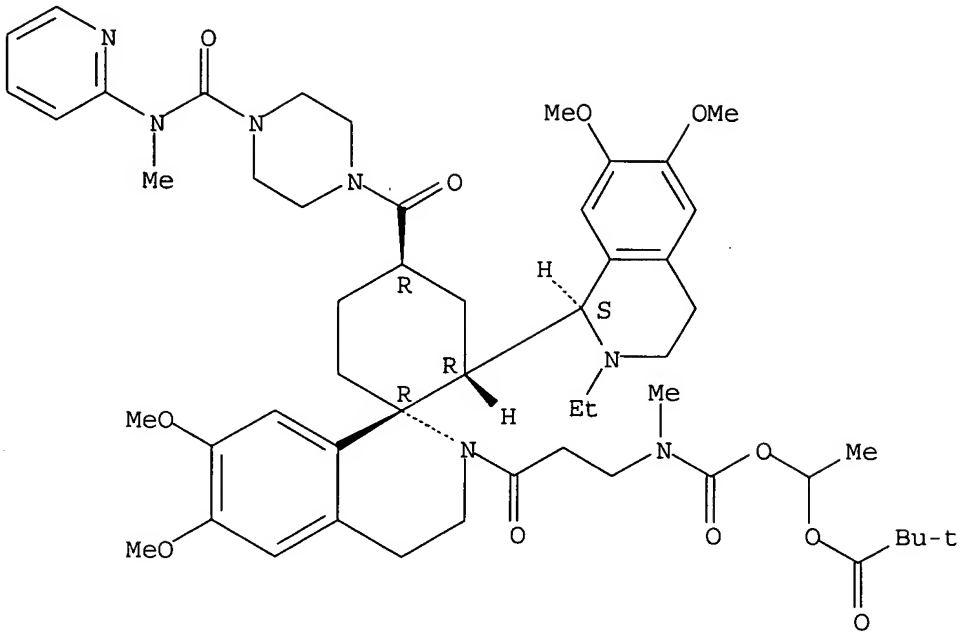
RN 470430-69-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[(1R,2R,4R)-2'-ethyl-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxyspiro[cyclohexane-1,1'(2'H)-isoquinolin]-4-yl]carbonyl]-N-methyl-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 470431-27-7 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-[[[[3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-[(methyl-2-pyridinylamino)carbonyl]-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methylamino]carbonyl]oxy]ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

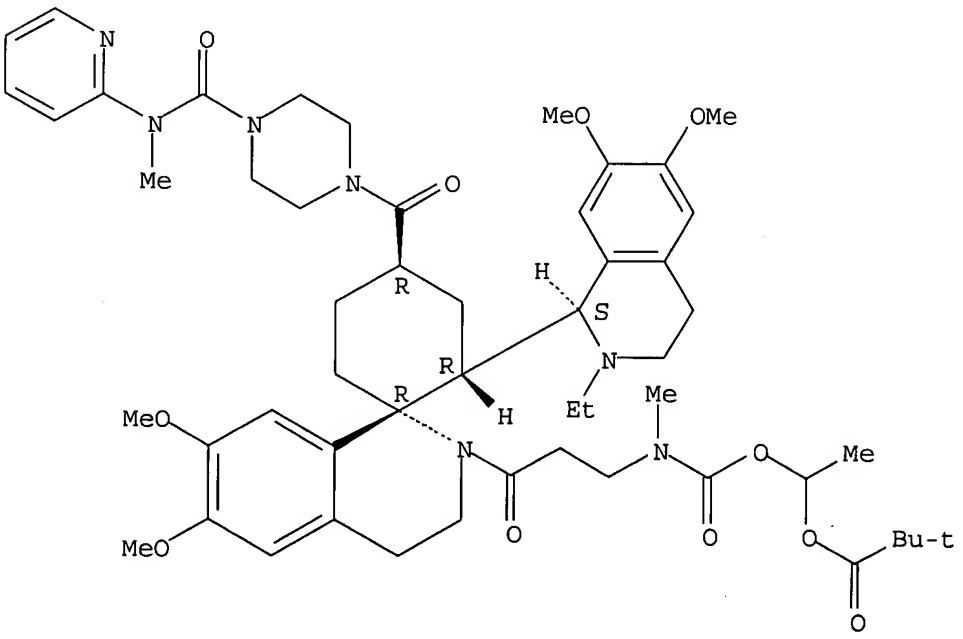


RN 470438-82-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-[[[[3-[(1R,2R,4R)-2-[(1S)-2-ethyl-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-3',4'-dihydro-6',7'-dimethoxy-4-[[4-[(methyl-2-pyridinylamino)carbonyl]-1-piperazinyl]carbonyl]spiro[cyclohexane-1,1'(2'H)-isoquinolin]-2'-yl]-3-oxopropyl]methylamino]carbonyl]oxy]ethyl ester, rel-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 470431-27-7
CMF C53 H73 N7 O11

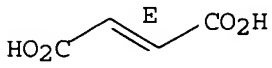
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:312037 CAPLUS
DN 136:325436
TI Preparation of quinolinylindoles as antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Chopra, Ian
PA Sepracor Inc., USA
SO U.S., 167 pp., Cont. of U.S. Ser. No. 639,622.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6376670	B1	20020423	US 2000-658690	20000908
	US 6207679	B1	20010327	US 1998-45051	19980319
	US 6172084	B1	20010109	US 1998-99640	19980618
	US 6103905	A	20000815	US 1998-213385	19981211
PRAI	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		
	US 1998-213385	A1	19981211		
	US 2000-639622	A2	20000815		

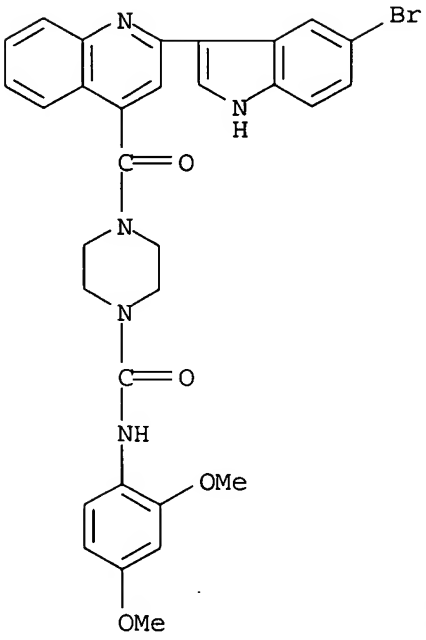
OS MARPAT 136:325436
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

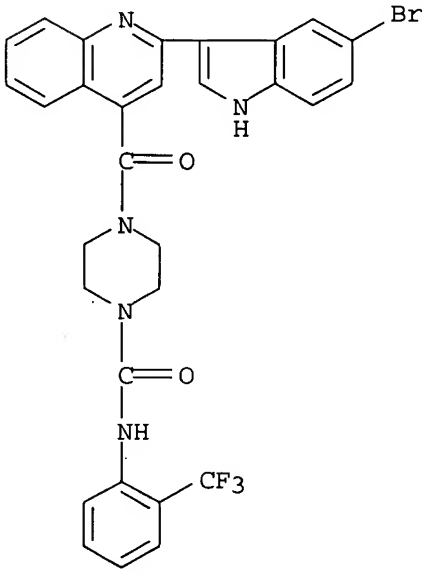
AB The title compds. [I; Z = CO, CR2; R = H, alkyl; R5-R8, R14-R17 = H, halo, alkyl, etc.; R9, R10 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl; R11 = H, alkyl; R12 = H, alkyl] which are bactericidal to a Gram-pos. bacterium via a non-lytic mechanism at its MIC (data given), were prepared E.g., a multi-step synthesis of II, was given.

IT **218463-50-4P 218463-51-5P 218463-52-6P**
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinylindole derivs. as antimicrobial agents)

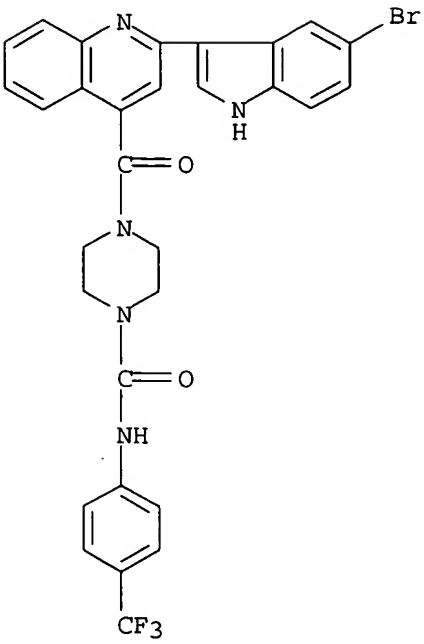
RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



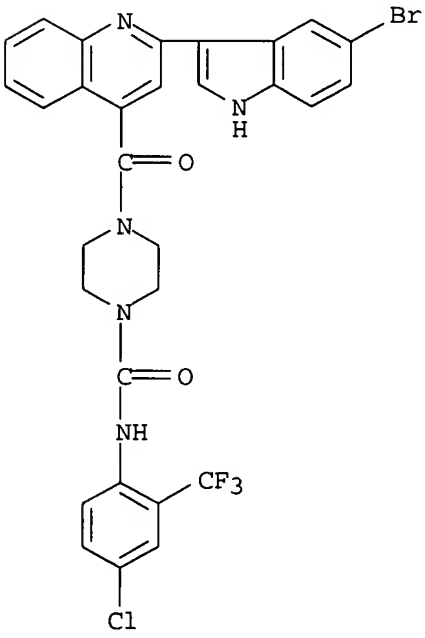
RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



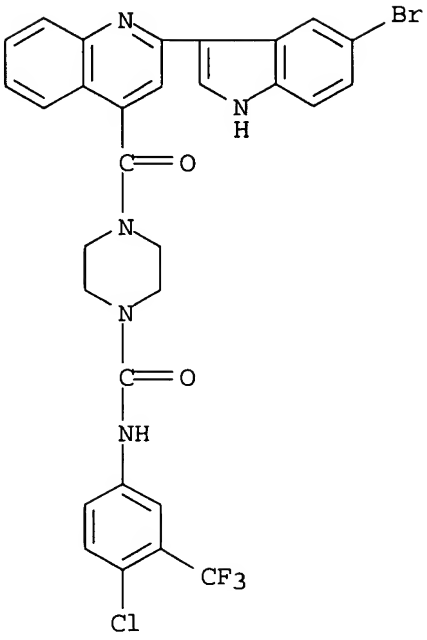
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



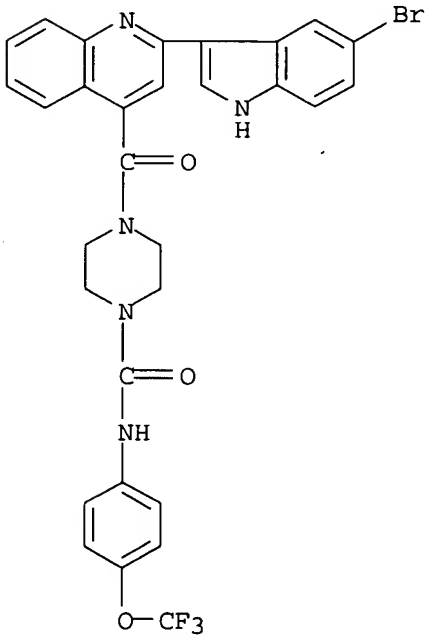
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



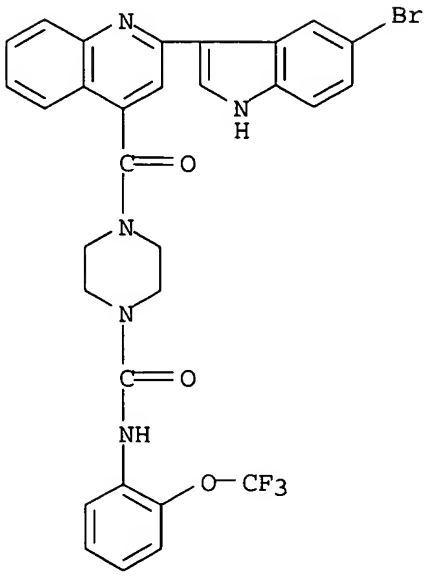
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

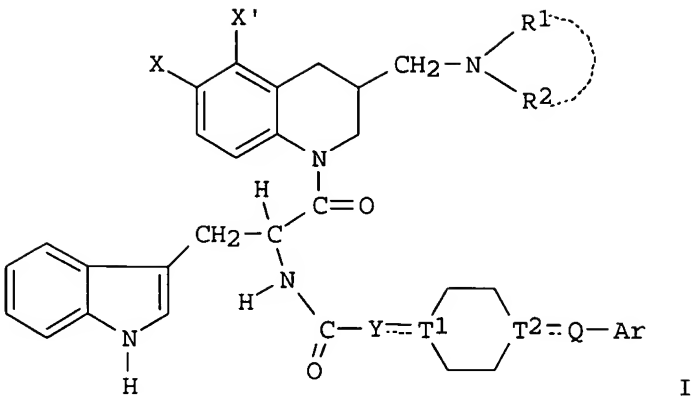


RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:265411 CAPLUS
DN 134:295840
TI Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors
IN Kato, Kaneyoshi; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro
PA Tadeka Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025228	A1	20010412	WO 2000-JP6937	20001005
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2386517	AA	20010412	CA 2000-2386517	20001005
	AU 2000075568	A5	20010510	AU 2000-75568	20001005
	JP 2002088079	A2	20020327	JP 2000-311723	20001005
	EP 1227090	A1	20020731	EP 2000-964676	20001005
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRAI	JP 1999-286939	A	19991007		
	JP 2000-215837	A	20000711		
	WO 2000-JP6937	W	20001005		

OS MARPAT 134:295840
GI



I

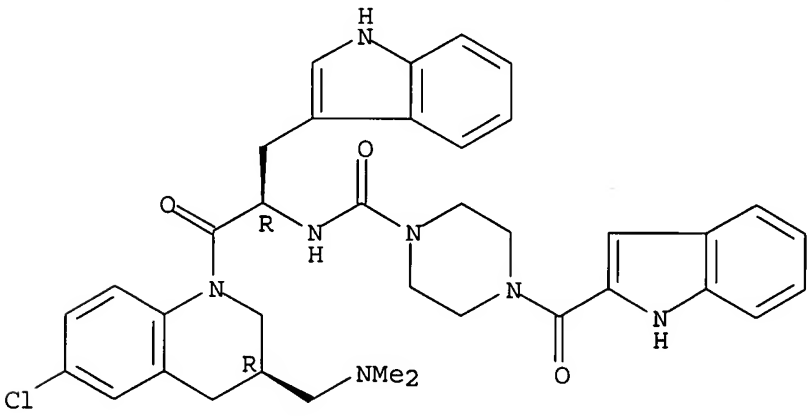
AB The title compds. I [X and X' are the same or different and each represents hydrogen, fluorine, etc., provided that at least one of X and X' represents fluorine, chlorine, etc.; R1 and R2 represents each hydrogen or optionally substituted C1-6 alkyl, or R1 and R2 form together with the nitrogen atom adjacent thereto an optionally substituted nitrogen-containing heterocycle; Y and Q are the same or different and each represents a bond or a spacer having 1 to 6 atoms in the main chain; the dotted line represents a single or double bond; T1 and T2 represent each C(R9) (wherein R9 represents hydrogen, hydroxy, etc.), N, etc.; and Ar represents an optionally substituted aromatic group, hydrogen, etc.; a provision is given] are prepared In an in vitro test for inhibition of binding to the somatostatin receptor type 2, several compds. of this invention showed IC50 of 0.6 to 2 nM. Formulations are given.

IT **333953-87-0P 333953-88-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylpropanoyltetrahydroquinoline derivs. which inhibit binding of somatostatin receptors)

RN 333953-87-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-(1H-indol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

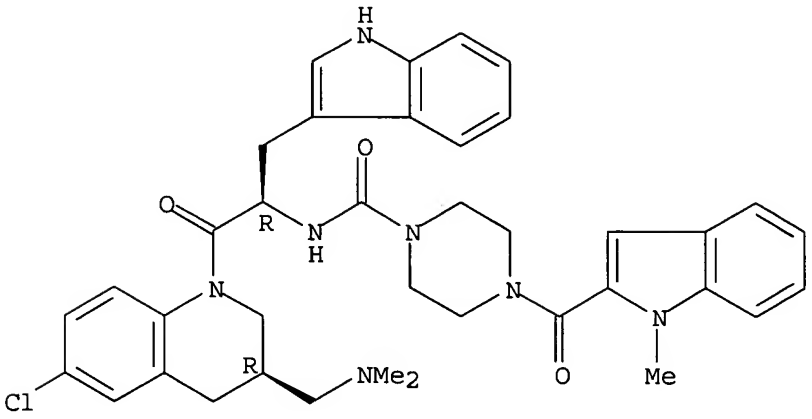


RN 333953-88-1 CAPLUS

CN 1-Piperazinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-

[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-[(1-methyl-1H-indol-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

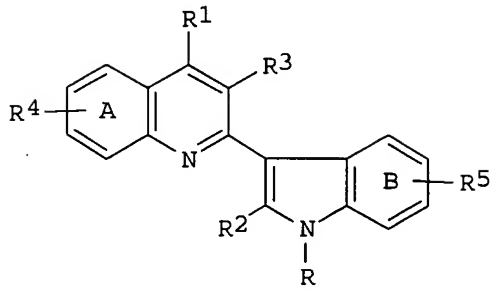


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:222008 CAPLUS
DN 134:252257
TI Preparation of 2-(indolin-3-yl)quinoline derivatives and compositions in use as antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.
PA Sepracor, Inc., USA
SO U.S., 112 pp., Cont.-in-part of U.S. Ser. No. 878,781, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6207679	B1	20010327	US 1998-45051	19980319
	CA 2293418	AA	19981223	CA 1998-2293418	19980618
	WO 9857931	A2	19981223	WO 1998-US12762	19980618
	WO 9857931	A3	19990429		
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, BM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 991623	A2	20000412	EP 1998-930396	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6172084	B1	20010109	US 1998-99640	19980618
	JP 2002505689	T2	20020219	JP 1999-504835	19980618
	AU 757059	B2	20030130	AU 1998-79797	19980618
	US 6103905	A	20000815	US 1998-213385	19981211
	NO 9906269	A	20000216	NO 1999-6269	19991217
	US 6376670	B1	20020423	US 2000-658690	20000908

PRAI US 1997-878781 B2 19970619
US 1998-45051 A 19980319
US 1998-99640 A2 19980618
WO 1998-US12762 W 19980618
US 1998-213385 A1 19981211
US 2000-639622 A2 20000815
OS MARPAT 134:252257
GI



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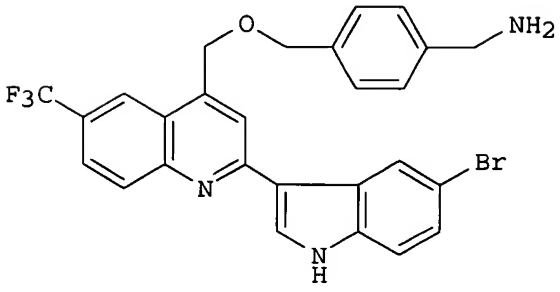
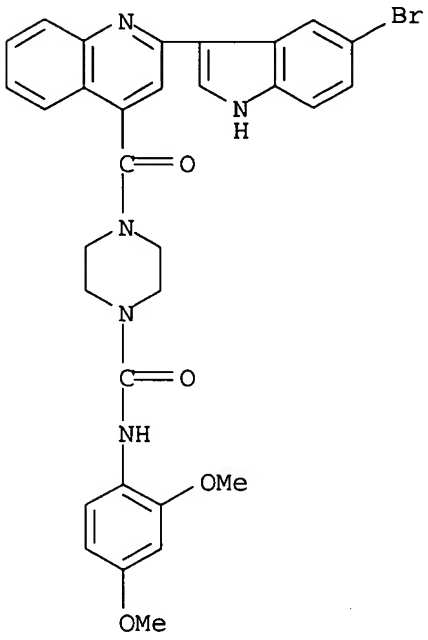


II

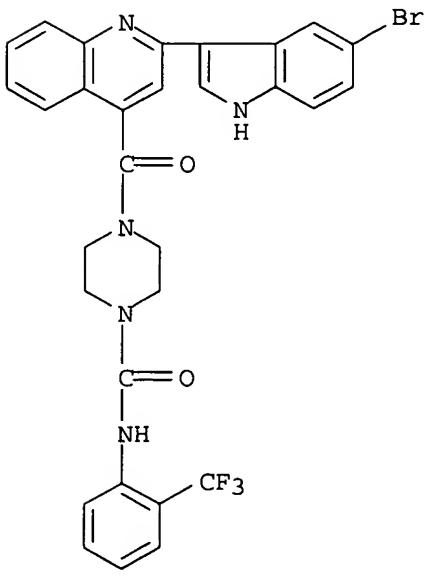
AB Title compds. I [wherein; R, R1, R2 and R3 are H, halo, alk(en)(yn)yl, OH, alkoxy, amino, nitro, SH, imine, amide, CO, -(CH2)0-8-R80, etc.; R4 is the same as R-R3 but not H; R5 is the same as R4 except that at least 1(-8) CH2 precede R80; A is (un)substituted with any number of R4 up to the number limited by stability and rules of valence; B is substituted with at least one instance of R5 up to the number limited by stability and rules of valence; R80 is (substituted) aryl, cycloalk(en)yl, heterocyclyl or polycyclyl.] and related quinoline derivs. are prepared as antimicrobial agents. For instance, synthesis of II is accomplished by alkylation of 4-hydroxymethyl-6-trifluoromethyl-2-(N-t-butoxycarbonylindol-3-yl)quinoline with (4-t-butoxycarbonylaminomethyl)benzyl iodide followed by deprotection. There are 282 examples of I provided. The min. inhibitory concentration (MIC) of I against at least one Gram-pos. bacterium is 0.1-10 μg/mL. Certain compds. of formula I have a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT **218463-50-4P 218463-51-5P 218463-52-6P**
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and use of quinolinylindole derivs. as antimicrobial agents)

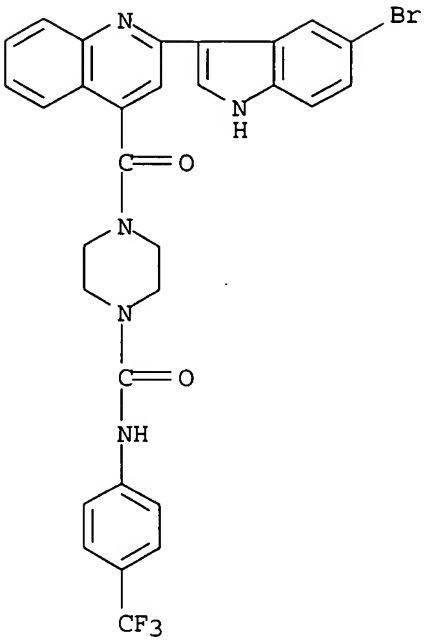
RN 218463-50-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



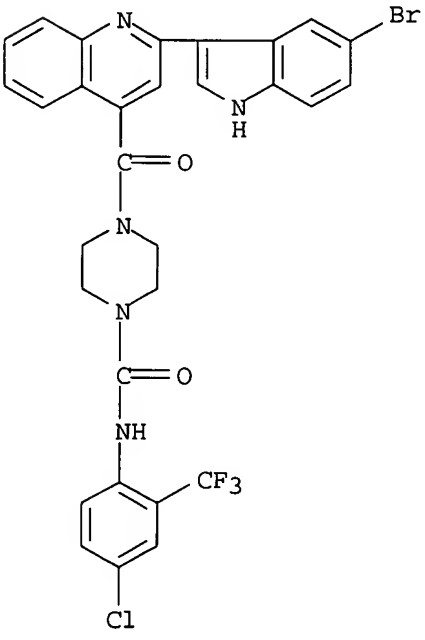
RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



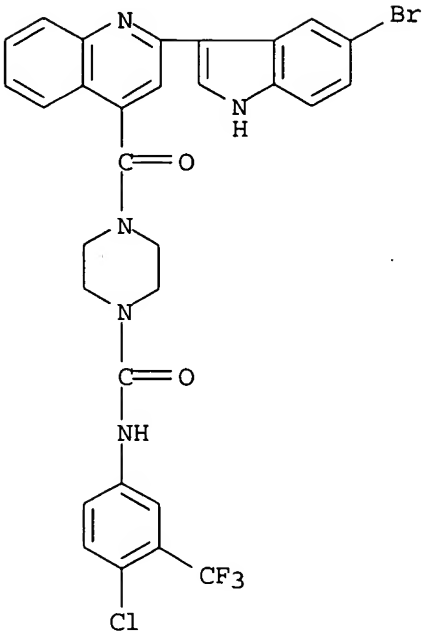
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



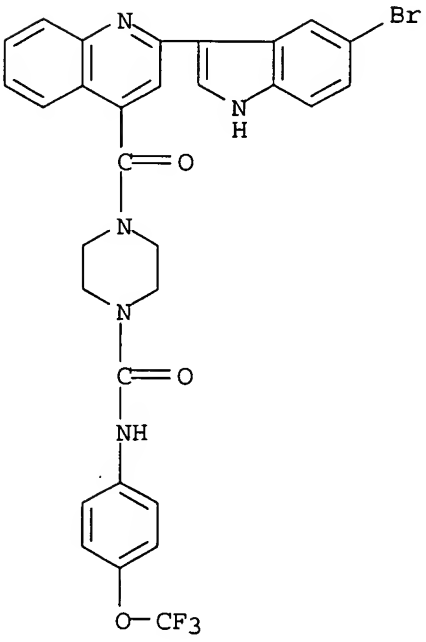
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



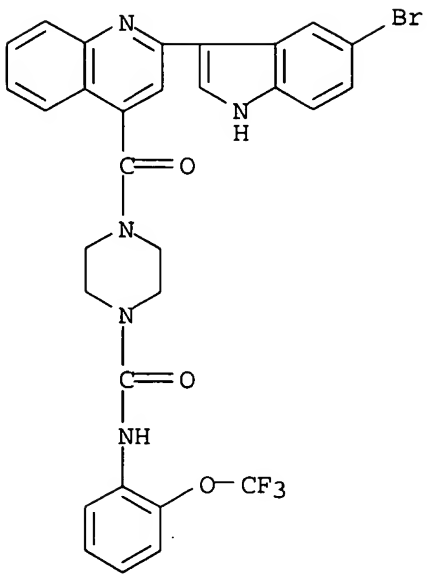
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

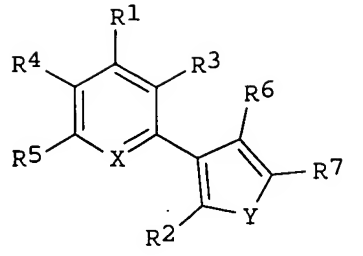


RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:25778 CAPLUS
DN 134:86170
TI Quinoline-indole antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-badalian, Anita; Rossi, Richard F.
PA Sepracor, Inc., USA
SO U.S., 151 pp., Cont.-in-part of U.S. Ser. No. 45,051.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6172084	B1	20010109	US 1998-99640	19980618
	US 6207679	B1	20010327	US 1998-45051	19980319
	US 6103905	A	20000815	US 1998-213385	19981211
	US 6376670	B1	20020423	US 2000-658690	20000908
PRAI	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		
	US 1998-213385	A1	19981211		
	US 2000-639622	A2	20000815		

OS MARPAT 134:86170
GI



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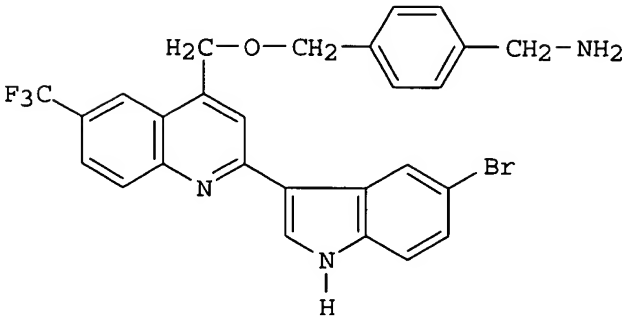


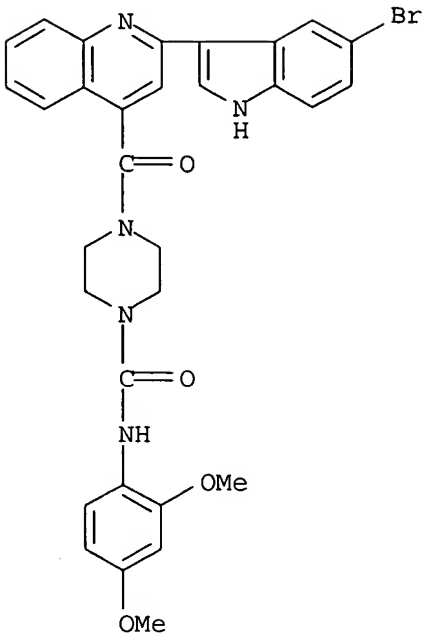
II

AB Indolylquinolines I [X = N; Y = NR; R-R3 = independently H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CONH2, anhydride, silyl, alkylsulfonyl, arylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, guanidine, amidine, acetal, ketal, amine oxide, (hetero)aryl, azide, aziridine, carbamate, epoxide, C(:NH)OH, imide, oxime, SO2NH2, CSNH2, thiocarbamate, urea, thiourea, or (CH2)mR80; R4R5, R6R7 = atoms required to complete an (un)substituted fused benzo ring system; R80 = (un)substituted aryl, cycloalkyl, cycloalkenyl, heterocycle, or polycycle; m = 0-8] were prepared by conventional or combinatorial synthetic methods for use as bactericides. Thus, 4-H2NCH2C6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced, and treated with iodine to give 4-BocNHCH2C6H4CH2I, which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.

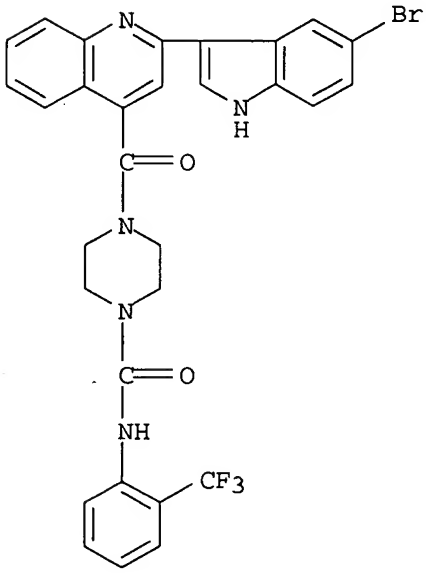
IT **218463-50-4P 218463-51-5P 218463-52-6P**
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides by conventional or combinatorial methods)

RN 218463-50-4 CAPLUS

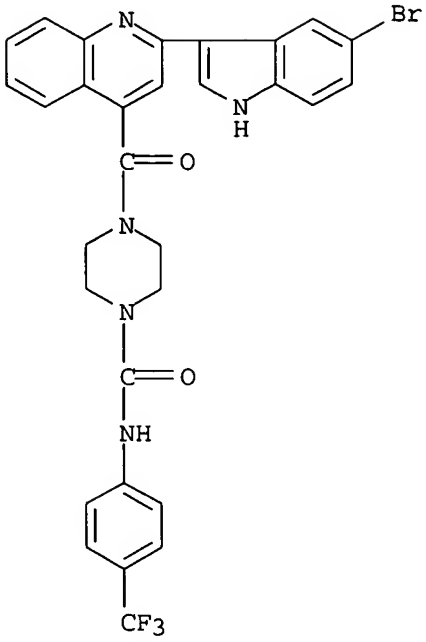
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



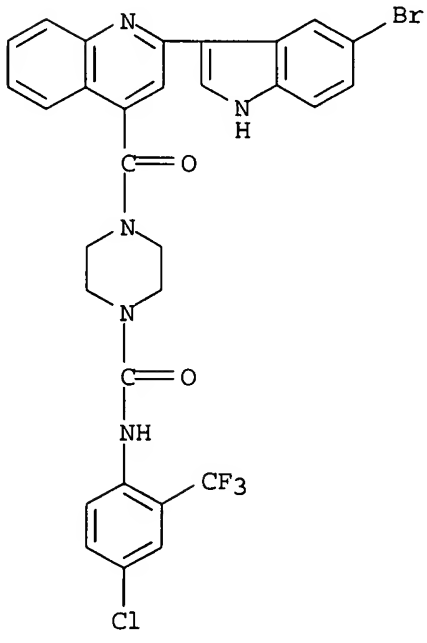
RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



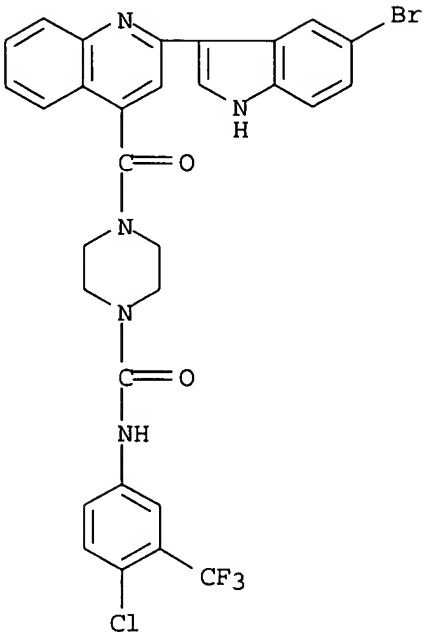
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



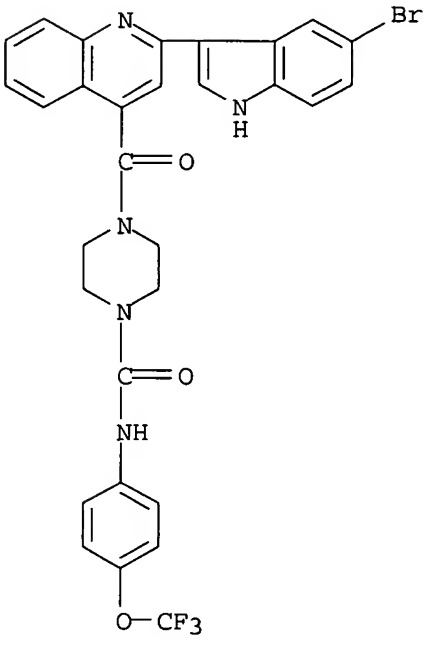
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



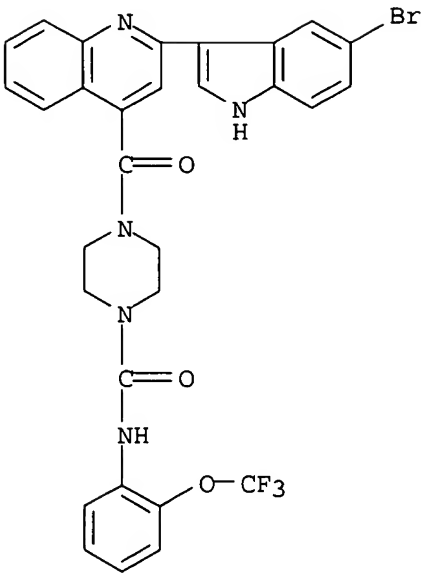
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:568542 CAPLUS
DN 133:150464
TI Preparation of quinolinylindole derivatives and compositions in use as antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PA Sepracor, Inc., USA
SO U.S., 228 pp., Cont.-in-part of U.S. Ser. No. 99,640.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6103905	A	20000815	US 1998-213385	19981211
	US 6207679	B1	20010327	US 1998-45051	19980319
	US 6172084	B1	20010109	US 1998-99640	19980618
	WO 2000034265	A2	20000615	WO 1999-US28744	19991203
	WO 2000034265	A3	20021003		
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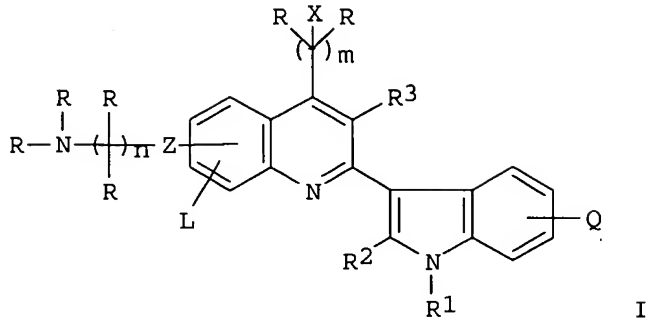
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6376670	B1	20020423	US 2000-658690	20000908
PRAI	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		
	US 1998-213385	A	19981211		
	US 2000-639622	A2	20000815		

OS MARPAT 133:150464
GI



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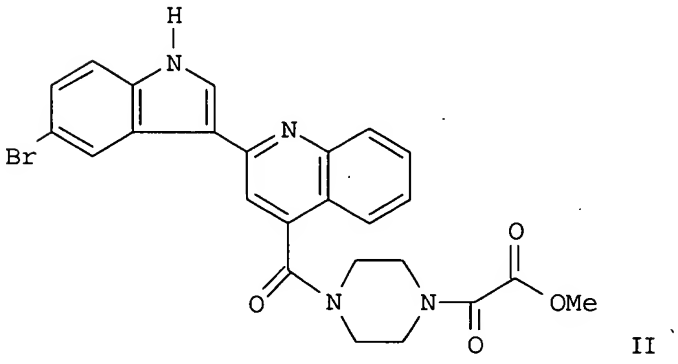


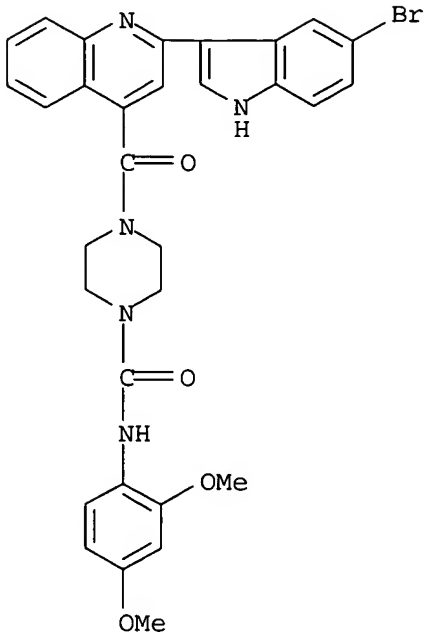
II

AB Title compds. [I; Q = hydrophobic group, H; X = heterocyclyl, amidinyl, formamidonyl, guanidinyl, CN, CSNR2, OR, SR; Z = CC, (E)-CH:CH, (Z)-CH:CH, (CH2)2; L = hydrophobic group, H; R represents independently for each occurrence = H, alkyl, heteroalkyl, aryl, heteroaryl, acyl, sulfonyl; R1 = H, alkyl, aryl, 4-CH3C6H4SO2, (CH2)d; d = 1-6; R2 = H, alkyl, aryl; R3 = H, alkyl, aryl; m = 1-8; n = 1-4] and pharmaceutical prepns. using title compds. are prepared as antimicrobial agents. The MIC value of I against at least one Gram-pos. bacterium ranged from 0.1-10 μg/mL. Thus, the title compound II was prepared and has a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

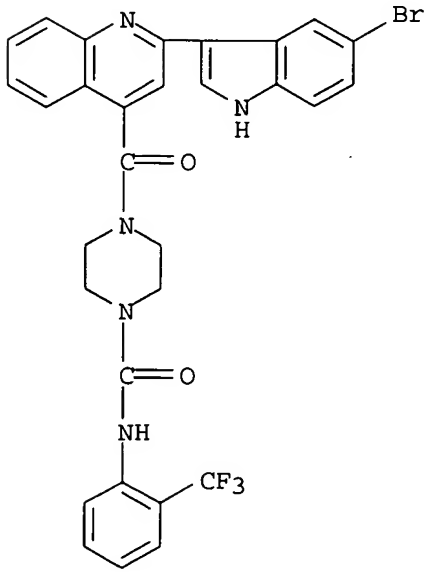
IT **218463-50-4P 218463-51-5P 218463-52-6P**
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinolinylindole derivs. as antimicrobial agents)

RN 218463-50-4 CAPLUS

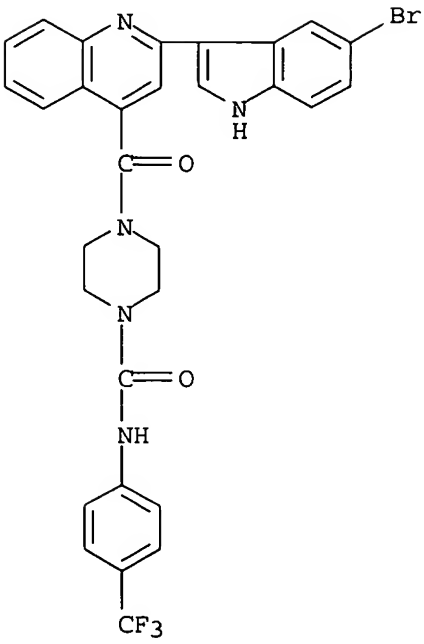
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



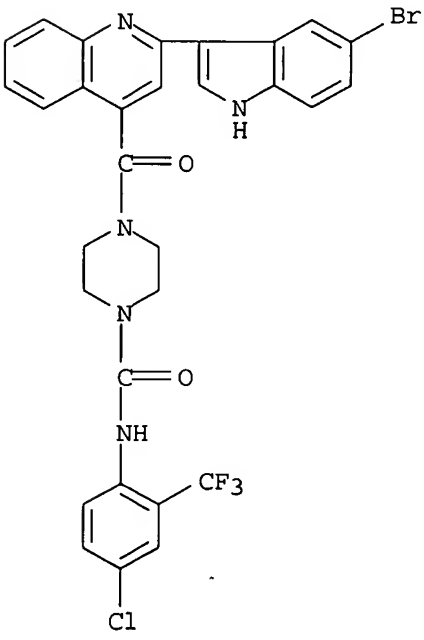
RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



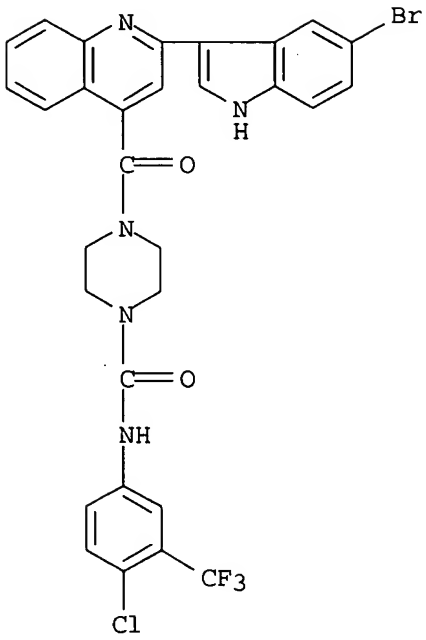
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



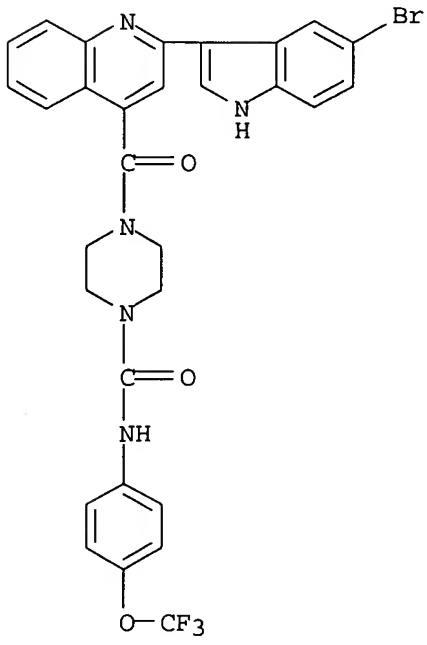
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



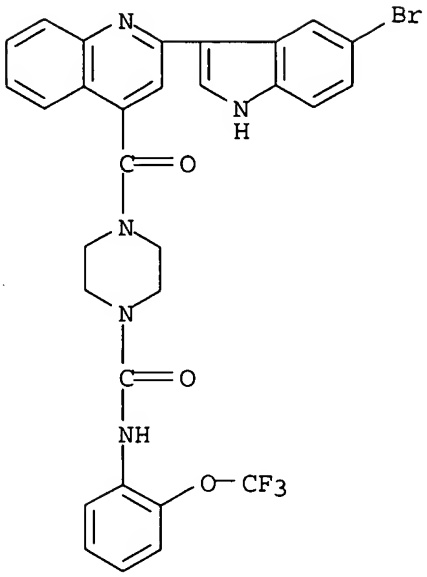
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:401813 CAPLUS
DN 133:43453
TI Preparation of 2-(3-indolyl)quinolines as antibacterial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034265	A2	20000615	WO 1999-US28744	19991203
	WO 2000034265	A3	20021003		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6103905	A	20000815	US 1998-213385	19981211
PRAI	US 1998-213385	A	19981211		
	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		

OS MARPAT 133:43453
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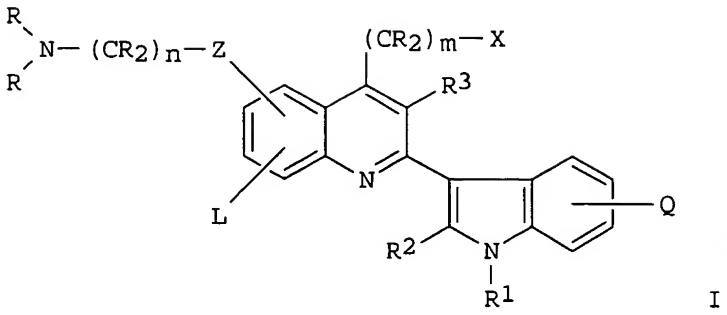

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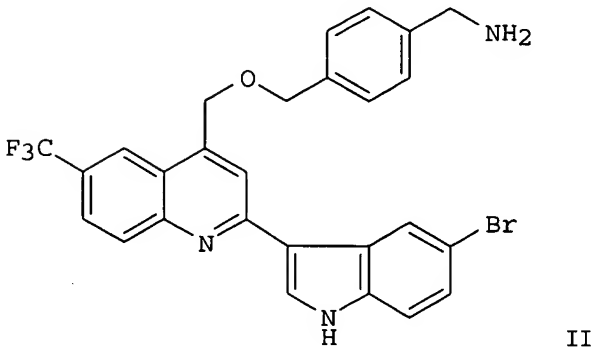


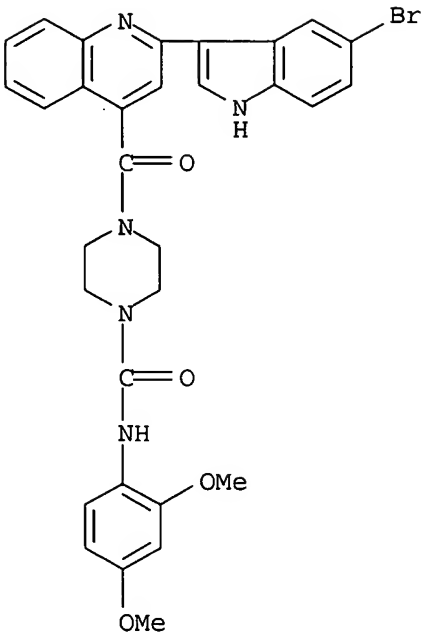
II

AB The title compds. (I) [wherein L and Q = independently a hydrophobic group or is absent; X = heterocyclyl, (form)amidinyl, guanidinyl, CN, C(S)NR2, N(R)C(S)R, OR, SR, NR2, or PR2; Z = C.tplbond.C, CH:CH, or CH2CH2; R = independently H, (hetero)alkyl, (hetero)aryl, acyl, sulfonyl, etc.; R1 = H, alkyl, aryl, p-toluenesulfonyl, phthalimidoalkyl, or aminoalkyl; R2 and R3 = independently H, alkyl, or acyl] were prepared by standard synthetic and solid phase combinatorial methods. For example, II was synthesized in a 3-step sequence involving: (1) reduction of 2-[5-bromo-1-(tert-butoxycarbonyl)indol-3-yl]-6-(trifluoromethyl)-4-quinolinecarboxylic acid to the alc. with LiAlH4 (44%), (2) addition of 4-iodo-N-(tert-butoxycarbonyl)benzylamine (preparation given) to the alc. (82%), and (3) indolyl and amine deprotection using TFA (78%). Nearly two-thirds of the 534 indolylquinolines tested in assays against cultures of methicillin-resistant Staphylococcus aureau (MRSA), ciprofloxacin-resistant Staphylococcus aureus (CRSA), vancomycin-resistant Enterococcus spp.(VRE), and/or penicillin-resistant Pseudomonas (PRP) had in vitro min. inhibitory concns. (MICs) ≤ 10 μM. For 12 of the 15 compds. tested in vivo for toxicity, all mice were surviving 7 days after administration of 40 mg/kg doses.

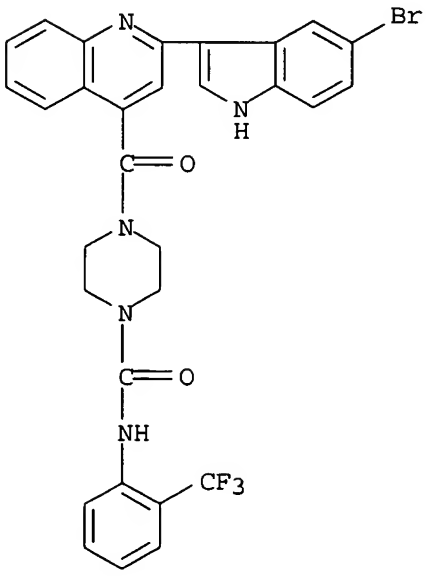
IT **218463-50-4P 218463-51-5P 218463-52-6P 218463-53-7P 218463-54-8P 218463-55-9P 218463-56-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(3-indolyl)quinolines as antibacterial agents)

RN 218463-50-4 CAPLUS

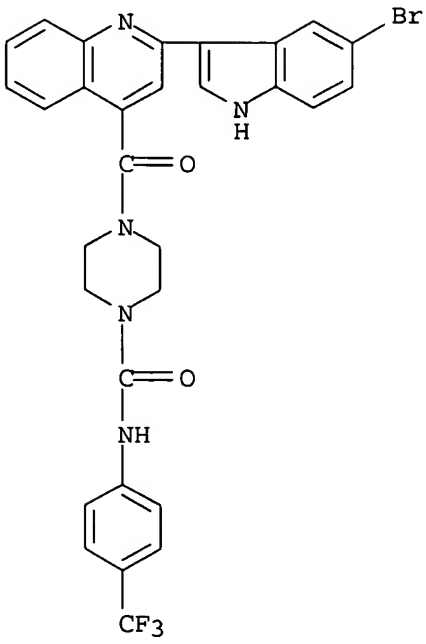
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



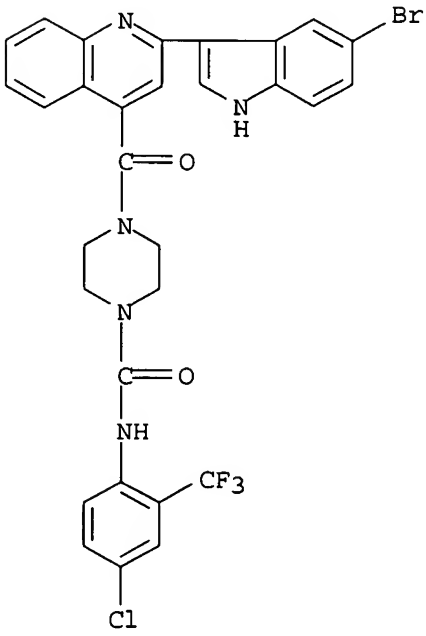
RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



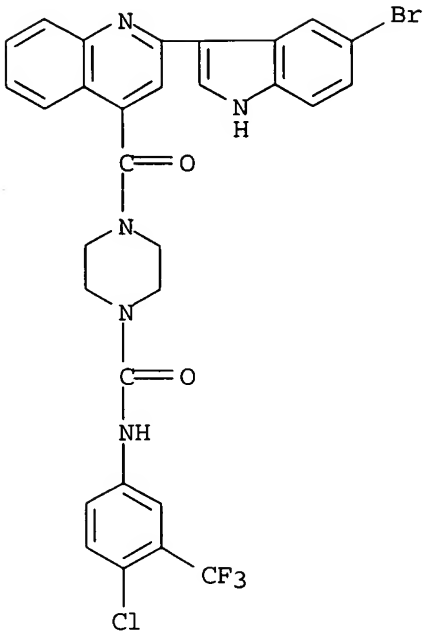
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



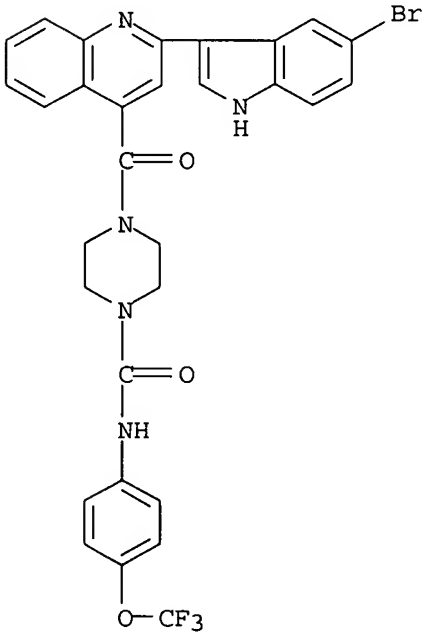
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



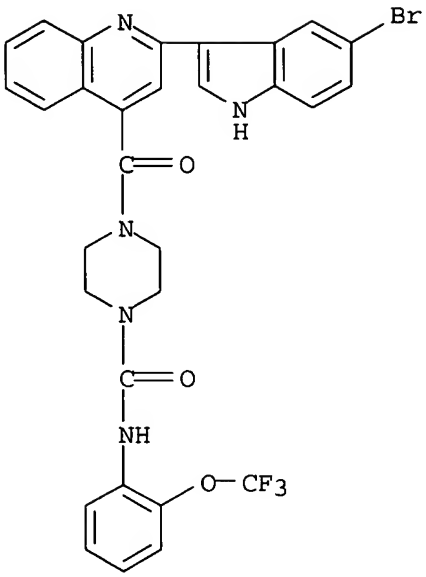
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:27676 CAPLUS
DN 130:81422
TI Quinoline-indole antimicrobial agents
IN Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857931	A2	19981223	WO 1998-US12762	19980618
	WO 9857931	A3	19990429		
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6207679	B1	20010327	US 1998-45051	19980319
	CA 2293418	AA	19981223	CA 1998-2293418	19980618
	EP 991623	A2	20000412	EP 1998-930396	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002505689	T2	20020219	JP 1999-504835	19980618
	AU 757059	B2	20030130	AU 1998-79797	19980618
	NO 9906269	A	20000216	NO 1999-6269	19991217
PRAI	US 1997-878781	A	19970619		
	US 1998-45051	A2	19980319		
	WO 1998-US12762	W	19980618		

OS MARPAT 130:81422
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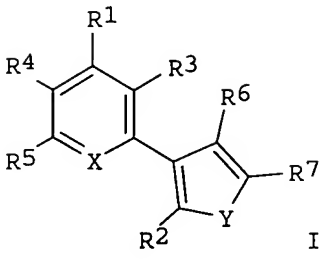

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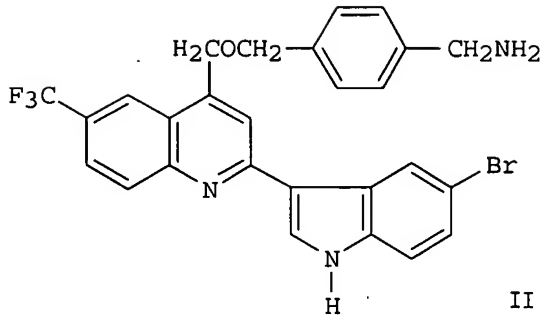


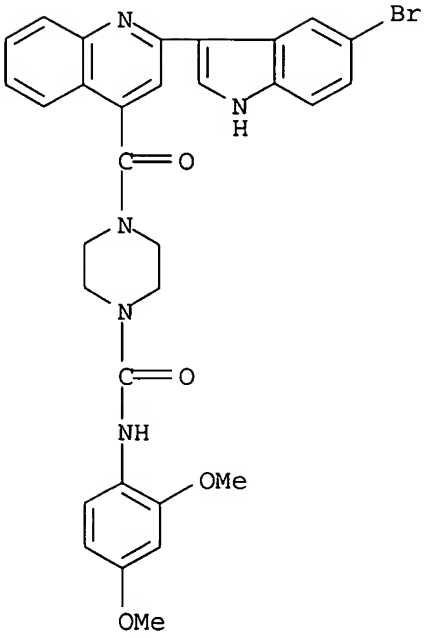
II

AB Indolylquinolines I [X = (un)substituted CH, N, N(O), P, As; Y = (un)substituted CH2, NH, O, Ph, S, AsH, Se; R1-R3 = H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CO2H, CONH2, anhydride, silyl, alkylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, epoxide, C(:NH)OH, oxime, SO2NH2, CSNH2, CS2NH2, urea, thiourea; R4R5, R6R7 = atoms required to complete a moncyclic or polycyclic ring system] were prepared individually or by combinatorial synthesis for use as bactericides. Thus, 4-H2NC6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced and treated with iodine to give 4-BocNHC6H4CH2I which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.

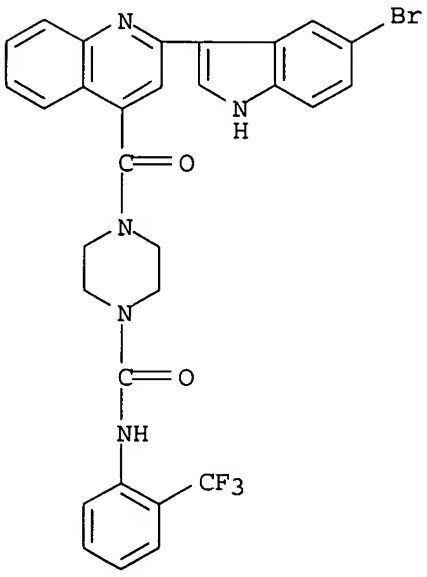
IT **218463-50-4P 218463-51-5P 218463-52-6P**
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides)

RN 218463-50-4 CAPLUS

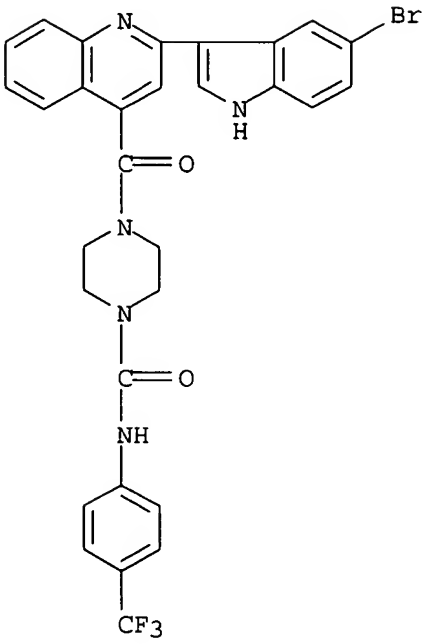
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



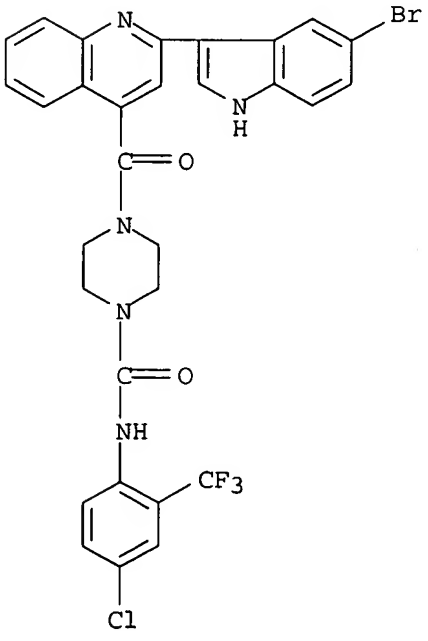
RN 218463-51-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



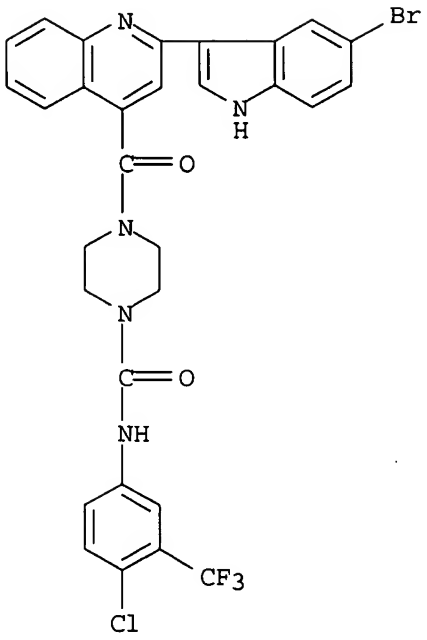
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



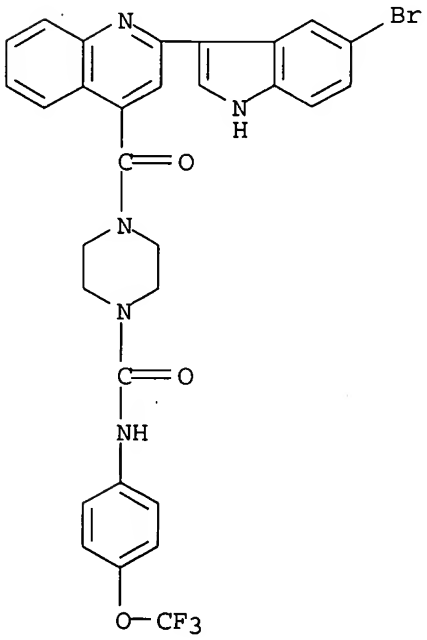
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



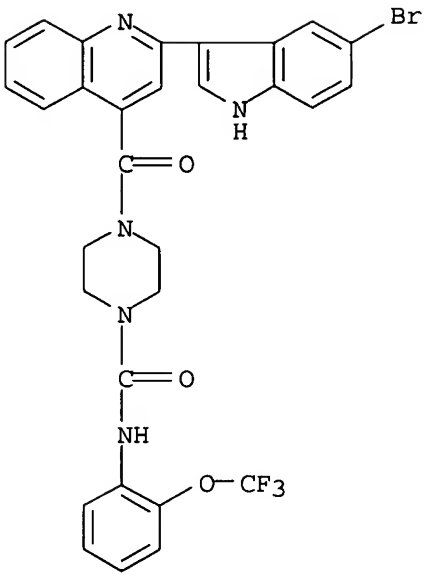
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:9834 CAPLUS
DN 130:81421
TI Preparation of indolyl(iso)quinolines as bactericides
IN Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PA Sepracor Inc., USA
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857952	A1	19981223	WO 1998-US12706	19980618
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9882586	A1	19990104	AU 1998-82586	19980618
PRAI	US 1997-878781	A2	19970619		
	WO 1998-US12706	W	19980618		

OS MARPAT 130:81421
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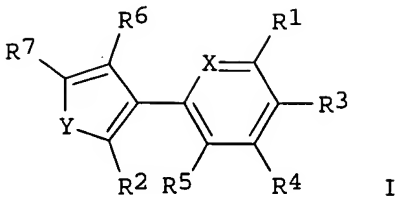


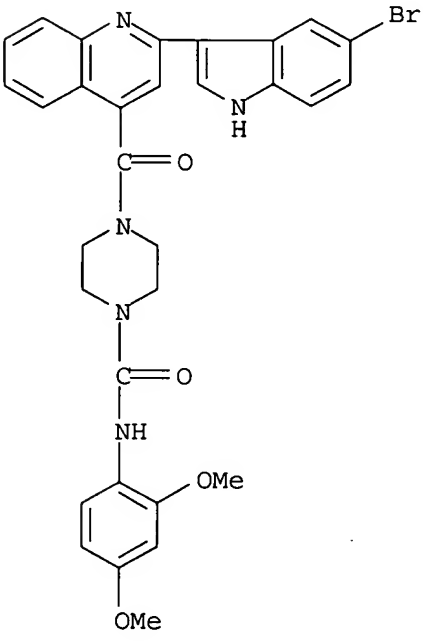
I

AB Title compds. [I; X = CR, N, NO, P, As; Y = CR2, NR, O, PR, S, AsR, Se; R,R1-R3 = H, halo, alkyl, alkoxy, etc.; R4R5,R6R7 = atoms to complete (un)substituted rings] were prepared Thus, solid-phase synthesis of a 1-(3-indolyl)isoquinoline-3-aminoalkylcarboxamide was described. Data for biol. activity of I were given.

IT **218463-50-4P 218463-51-5P 218463-52-6P**
218463-53-7P 218463-54-8P 218463-55-9P
218463-56-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyl(iso)quinolines as bactericides)

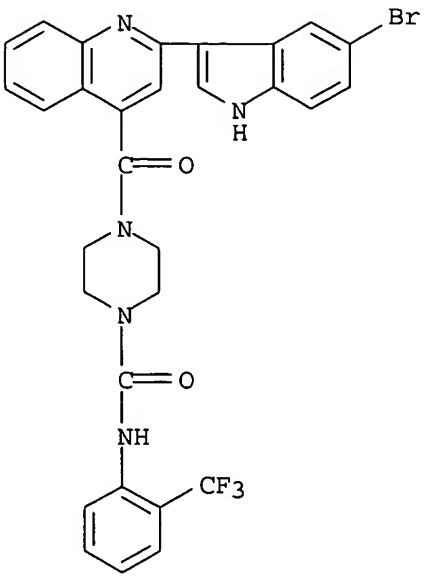
RN 218463-50-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

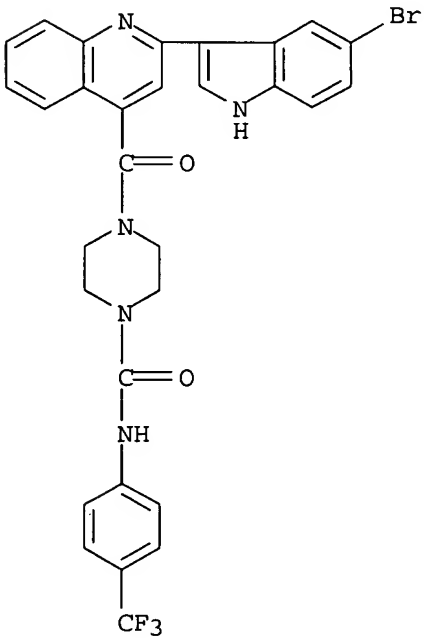


RN 218463-51-5 CAPLUS

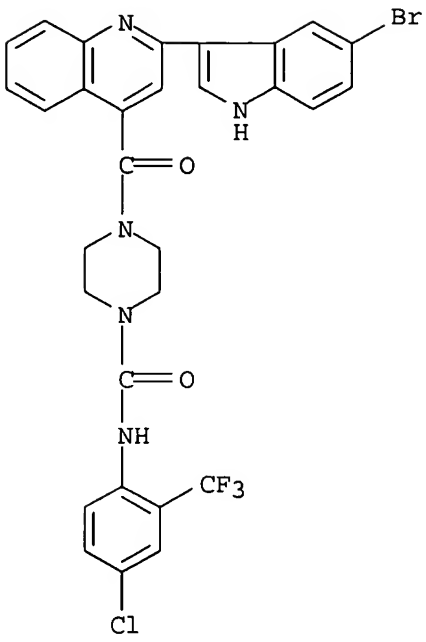
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



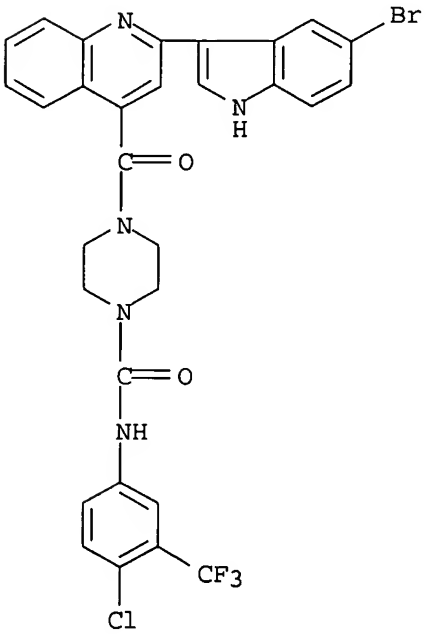
RN 218463-52-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



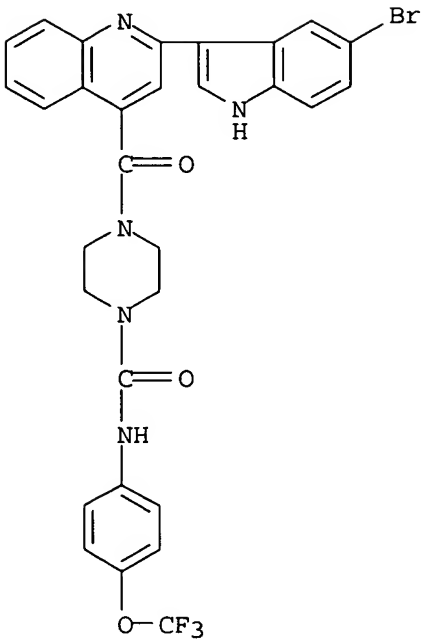
RN 218463-53-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



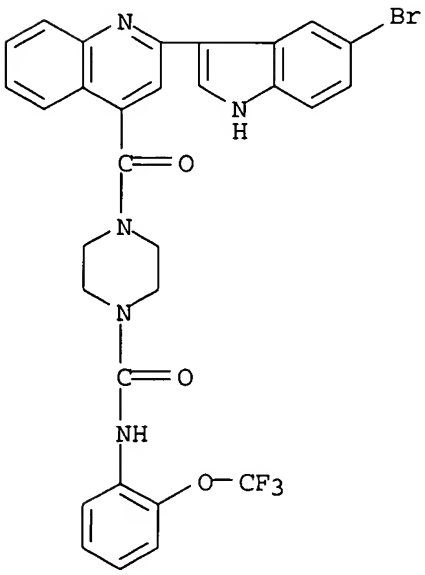
RN 218463-54-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-chloro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-55-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 218463-56-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:958518 CAPLUS
DN 124:146212
TI 8-Chloro-10,11-dihydro-10-(1-piperazinylcarbonyl)dibenz[b,f][1,4]oxazepine derivatives and analogs as analgesics and prostaglandin-E2 antagonists
IN Hansen, Donald W., Jr.; Peterson, Karen B.

PA G. D. Searle and Co., USA
SO U.S., 38 pp. Cont.-in-part of U.S. 5,354,747.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5461047	A	19951024	US 1994-245349	19940518
	US 5354747	A	19941011	US 1993-79021	19930616
	CA 2165159	AA	19941222	CA 1994-2165159	19940602
	WO 9429286	A1	19941222	WO 1994-US6029	19940602
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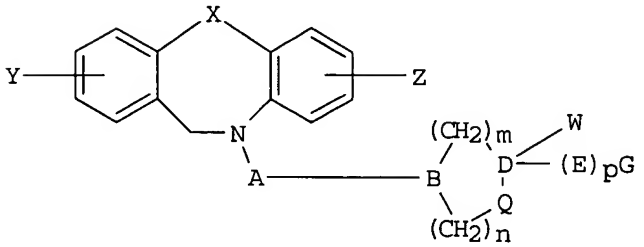
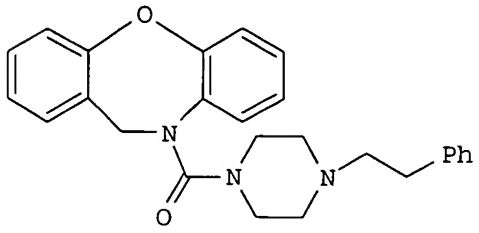
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9471387	A1	19950103	AU 1994-71387	19940602
	EP 703908	A1	19960403	EP 1994-920687	19940602
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09500107	T2	19970107	JP 1994-501874	19940602
PRAI	US 1993-79021	A2	19930616		
	US 1994-245349	A	19940518		
	WO 1994-US6029	W	19940602		

OS MARPAT 124:146212
GI



I



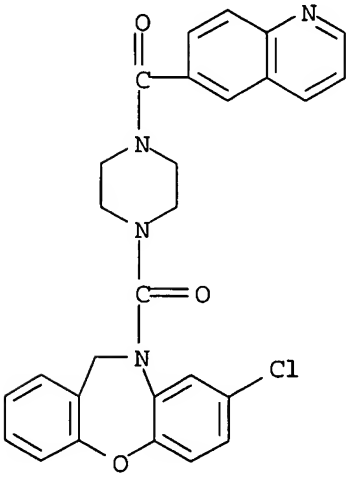
II

AB The present invention provides substituted dibenzoxazepine and dibenzothiazepine compds. I or a pharmaceutically-acceptable salt thereof, wherein: W = (H)r; Q = [CH(R)q]t; X is oxygen, sulfur, SO, or SO2; Y is hydrogen, halogen or hydroxy; Z is hydrogen or halogen; A is alkylene or carbonyl; B is CH or nitrogen; D is carbon or nitrogen; E is alkylene, carbonyl, alkyleneamino or alkylenecarbonyl; G is hydrogen, alkyl, cycloalkyl, alkoxy, aminoalkyl, aminocycloalkyl, aryl, alkylenearyl or aryl-substituted aryl; R is hydrogen or CO2R1 ; R1 is hydrogen or alkyl; m is an integer of from 0 to 4; n is an integer of from 0 to 4; r is 0 or 1; q is an integer of from 0 to 1; t is an integer of from 0 to 1; and p is

an integer of from 0 to 1 (with provisos) which are useful as analgesic agents for the treatment of pain, and for prostaglandin-E2 mediated diseases. Thus, e.g., 10,11-dihydro-10-[[4-(2-phenylethyl)-1-piperazinyl]carbonyl]dibenz[b,f][1,4]oxazepine, monohydrochloride (II.HCl) was synthesized by reductive alkylation of 8-chloro-10,11-dihydro-10-(1-piperazinylcarbonyl)dibenz[b,f][1,4]oxazepine, monohydrochloride (preparation given) with phenylacetaldehyde, and exhibited analgesic activity of 10/10 in the writhing assay and prostaglandin-E2 antagonism with dose ratio of EC50 doses = 2.6.

IT **163839-47-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(8-chloro-10,11-dihydro-10-(1-piperazinylcarbonyl)dibenz[b,f][1,4]oxazepine derivs. and analogs as analgesics and prostaglandin-E2 antagonists)

RN 163839-47-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine, 8-chloro-10,11-dihydro-10-[[4-(6-quinolinylcarbonyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



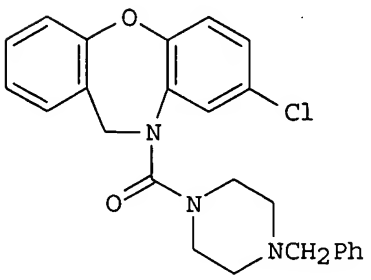
L4 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:682580 CAPLUS
DN 123:83397
TI Analgesic dibenzoxazepines and dibenzothiazepines
IN Hansen, Donald Willis, Jr.; Peterson, Karen Berenice
PA G.D. Searle and Co., USA
SO PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9429286	A1	19941222	WO 1994-US6029	19940602
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5354747	A	19941011	US 1993-79021	19930616
US 5461047	A	19951024	US 1994-245349	19940518

	AU 9471387	A1	19950103	AU 1994-71387	19940602
	EP 703908	A1	19960403	EP 1994-920687	19940602
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09500107	T2	19970107	JP 1994-501874	19940602
PRAI	US 1993-79021	A	19930616		
	US 1994-245349	A	19940518		
	WO 1994-US6029	W	19940602		

OS MARPAT 123:83397

GI



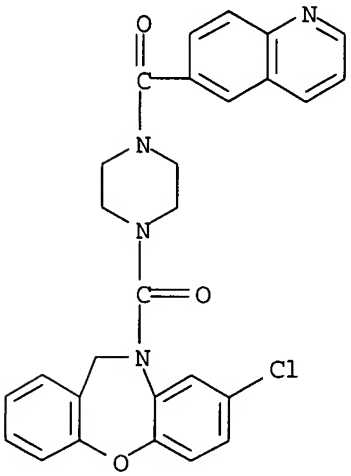
I

AB Dibenz[b,f][1,4]oxazepines and dibenz[b,f][1,4]thizepines were disclosed for the treatment of prostaglandin-E2 mediated diseases. A claimed example compound is 8-chloro-10,11-dihydro-10-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]dibenz[b,f][1,4]oxazepine hydrochloride (I).

IT **163839-47-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dibenz[b,f][1,4]oxazepines analgesics)

RN 163839-47-2 CAPLUS

CN Dibenz[b,f][1,4]oxazepine, 8-chloro-10,11-dihydro-10-[[4-(6-quinolinylcarbonyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

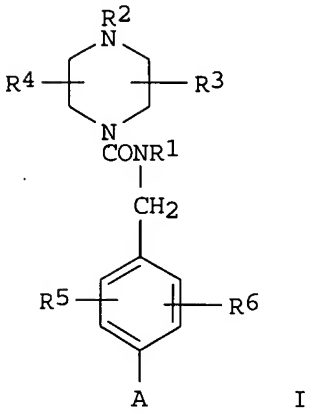
AN 1995:234787 CAPLUS

DN 122:31563

TI Preparation of N,N-diacylpiperazines as central nervous system agents
IN Greenlee, William J.; Wu, Mu T.
PA Merck and Co., Inc., USA
SO U.S., 25 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5348955	A	19940920	US 1993-80893	19930622
	WO 9500498	A1	19950105	WO 1994-US5789	19940523
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TT, UA, US, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9471383	A1	19950117	AU 1994-71383	19940523
PRAI	US 1993-80893	A1	19930622		
	WO 1994-US5789	W	19940523		

OS MARPAT 122:31563
GI



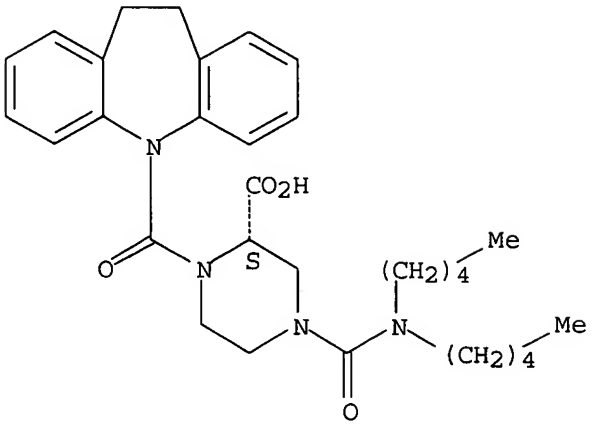
I

AB Title compds. I (A = substituted Ph or thienyl; R1 = H, C1-8 alkyl, C3-7 cycloalkyl, (substituted)Ph, C1-4-(substituted)aryl; R2 = C1-6 alkyl, aryl-CH2, C3-7-cycloalkyl-CH2, etc.; R3 = C1-4 alkyl-SCH2, C1-4 alkyl-OCH2, etc.; R4 = H, C1-6 alkyl, R3; R5 = H, C1-6alkyl, C2-6 alkenyl, C2-4 alkynyl, halo, etc.; R6 = H, R5), are prepared 1-[2-(1-Trityltetrazol-5-yl)biphenyl-4-yl]methyl bromide and Et3N was treated with pentylamine to give the N-pentyl derivative which was phosgenated to give the carbamoyl derivative and this was treated with (S)-1-(diphenylcarbamoyl)piperazine-2-carboxylic acid acetate salt (preparation given) to give after workup the title compound (S)-1-(diphenylcarbamoyl)-4-N-pentyl-N-[[2-(1H-tetrazol-5-ylbiphenyl-4-yl)methyl]carbamoyl]piperazine-2-carboxylic acid. Assays are given to demonstrate the usefulness of I as central nervous system agents. Pharmaceutical formulations comprising I are given.

IT **147145-54-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diacylpiperazines as central nervous system agents)

RN 147145-54-8 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-4-[(dipentylamino)carbonyl]-, (S)- (9CI) (CA INDEX NAME)

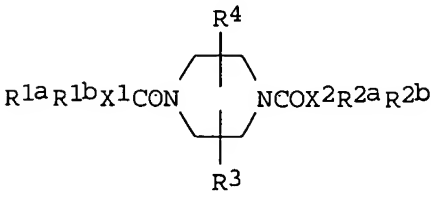
Absolute stereochemistry.



L4 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:231027 CAPLUS
DN 122:10062
TI Preparation of N,N-diacylpiperazines as central nervous system agents
IN Ashton, Wallace T.; Dorn, Conrad P.; Greenlee, William J.; Maccoss, Malcolm; Mills, Sander G.; Wu, Mu T.
PA Merck and Co., Inc., USA
SO U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 703,953, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5292726	A	19940308	US 1992-885416	19920519
	WO 9220661	A1	19921126	WO 1992-US4189	19920519
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
PRAI	US 1991-703953	B2	19910522		
	US 1992-885416	A	19920519		

OS MARPAT 122:10062
GI



I

AB Title compds. I (R1a = H, C1-8 alkyl, (substituted) Ph, (substituted) C1-4 alkylphenyl; R1b = R1a, C3-7 cycloalkyl, R1a-CH2; R2a, R2b = (substituted) Ph, and the Ph groups of R2a and R2b may be joined together at the o-C through a C-C single bond or a C1-3 alkylene to form a tricyclyl with X2 to which they are are attached; X1 = N, HC, O, with the proviso that if X1 = O, R1a is absent; X2 = N, HC, with the proviso that if X1 = HC, X2 ≠ HC; R3 = C1-4 alkyl, HOCH2, H2NCH2, HO2C, C1-4-O2C, F3COCH2, etc.;

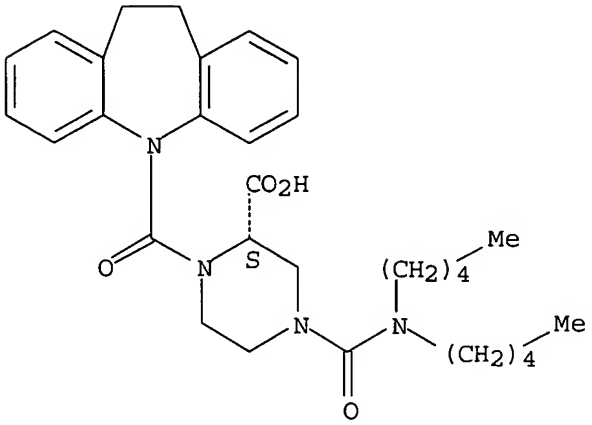
R4 = H, R3) or a salt thereof, useful as central nervous system agents (no data), are prepared (±)-4-(Benzyloxycarbonyl)-2-piperazinecarboxylic acid, NaOH, acetone and Ph2CHCOCl were reacted to give after workup (±)-I (R1a = CH2, R1b = R2a = R2b = Ph, X1 = O, X2 = HC). Pharmaceutical formulations comprising I are given.

IT **147145-54-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as central nervous system agent)

RN 147145-54-8 CAPLUS

CN 2-Piperazinecarboxylic acid, 1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-4-[(dipentylamino)carbonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:205963 CAPLUS

DN 123:9468

TI 2-, 3-, 4-, 5-, 6-, 7-, 8-, 9- and/or 10-substituted dibenzoxazepine and dibenzthiazepine compounds as analgesics and prostaglandin E2 antagonists, pharmaceutical compositions and methods of use

IN Hansen, Donald W., Jr.; Peterson, Karen B.

PA G.D. Searle and Co., USA

SO U.S., 39 pp.
CODEN: USXXAM

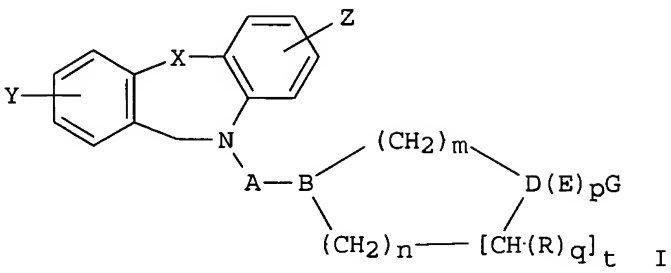
DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5354747	A	19941011	US 1993-79021	19930616
	US 5461047	A	19951024	US 1994-245349	19940518
	CA 2165159	AA	19941222	CA 1994-2165159	19940602
	WO 9429286	A1	19941222	WO 1994-US6029	19940602
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9471387	A1	19950103	AU 1994-71387	19940602
	EP 703908	A1	19960403	EP 1994-920687	19940602
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09500107	T2	19970107	JP 1994-501874	19940602

PRAI US 1993-79021 A2 19930616
US 1994-245349 A 19940518
WO 1994-US6029 W 19940602
OS MARPAT 123:9468
GI



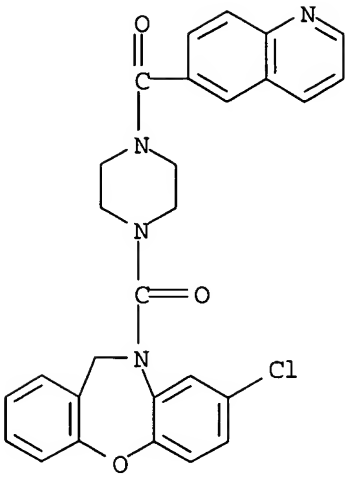
I

AB The present invention provides substituted dibenzoxazepine and dibenzthiazepine compds. I which are useful as analgesic agents for the treatment of pain, and for prostaglandin-E2 mediated diseases, pharmaceutical compns. comprising a therapeutically-effective amount of I in combination with a pharmaceutically-acceptable carrier, a method for eliminating or ameliorating pain in an animal comprising administering a therapeutically-effective amount of I to the animal, and a method for treating prostaglandin-E2 mediated diseases in an animal comprising administering a therapeutically-effective amount of I to the animal. Analgesic activity was measured using the writhing assay at standard dose of 10 mpk/g body weight: I produced analgesia in from 2/10 to 10/10 of the mice. Prostaglandin E2 antagonism assay (inhibition of contraction of guinea pig ileum): dose ratio of EC50 doses of from 0.8 to 32. Pharmaceutical compns. were given.

IT **163839-47-2P**, 1[-Chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-4-[(6-quinolinyl]carbonyl]yl)carbonyl]-4-[(6-quinolinyl)carbonyl]piperazine
RL: SPN (Synthetic preparation); PREP (Preparation)
(substituted dibenzoxazepine and dibenzthiazepine compds. as analgesics and prostaglandin E2 antagonists)

RN 163839-47-2 CAPLUS

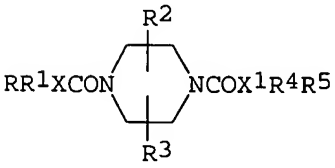
CN Dibenz[b,f][1,4]oxazepine, 8-chloro-10,11-dihydro-10-[[4-(6-quinolinylcarbonyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:234089 CAPLUS
DN 118:234089
TI N,N-diacylpiperazines
IN Ashton, Wallace T.; Greenlee, William J.; Wu, Mu Tsu; Dorn, Conrad P.; MacCoss, Malcolm; Mills, Sander G.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9220661	A1	19921126	WO 1992-US4189	19920519
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5292726	A	19940308	US 1992-885416	19920519
PRAI	US 1991-703953	A	19910522		
	US 1992-885416	A	19920519		

OS MARPAT 118:234089
GI



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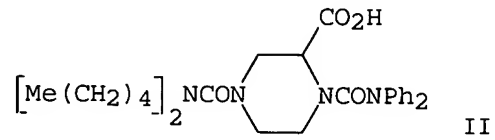


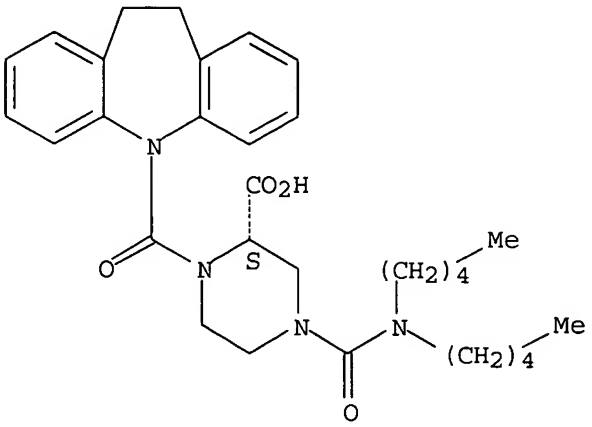
II

AB Title compds. I [X, X1 = CH, N; XRR1 = OR; R = H, alkyl, (un)substituted Ph, phenylalkyl; R1 = H, alkyl, (un)substituted Ph, phenylalkyl, cycloalkyl; R2 = (un)substituted alkyl, CO2H; R3 = H, (un)substituted alkyl, CO2H; R4, R5 = (un)substituted Ph] were prepared for use in treating cognitive dysfunction and as anxiolytics, antidepressants, antidopaminergics, and Ca channel blockers (no data). Thus, (±)-4-benzyloxycarbonyl-2-piperazinecarboxylic acid was treated with Ph2NCOCl, deblocked, and treated with [Me(CH2)4]2NCOCl to give the

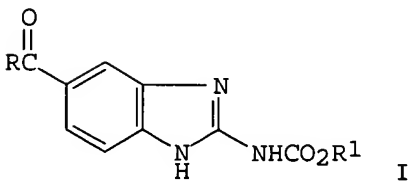
dicarbamoylpiperazine II.

IT **147145-54-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 147145-54-8 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-4-[(dipentylamino)carbonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:83590 CAPLUS
DN 116:83590
TI Synthesis and biological activity of certain alkyl 5-(alkoxycarbonyl)-1H-benzimidazole-2-carbamates and related derivatives: a new class of potential antineoplastic and antifilarial agents
AU Ram, Siya; Wise, Dean S.; Wotring, Linda L.; McCall, John W.; Townsend, Leroy B.
CS Coll. Pharm., Univ. Michigan, Ann Arbor, MI, 48109-1065, USA
SO Journal of Medicinal Chemistry (1992), 35(3), 539-47
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 116:83590
GI



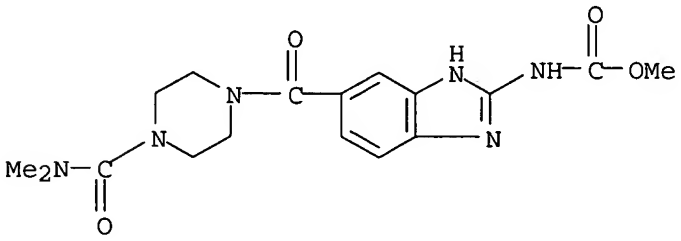
I

AB The 2-(alkoxycarbonylamino)-1H-benzimidazole-5-carboxylates I (R = HO, MeO, EtO, PrO, cyclopropylmethoxy, 2-propynyloxy, thienylmethoxy, fluorobenzyloxy, etc.; R1 = Me, Et, Pr, iso-Bu, cyclopropylmethyl) and the 2-(alkoxycarbonylamino)-1H-benzimidazole-5-carboxamides I (R = EtNH, Me2CHNH, Me3CCH2N, piperazino, morpholino, etc.; R1 = Me) were prepared from the resp. (alkoxycarbonylamino)-1H-benzimidazole-5-carbonyl chlorides and tested for their antineoplastic and antifilarial activity. Growth inhibition of L1210 cells appeared to be associated with mitotic cell

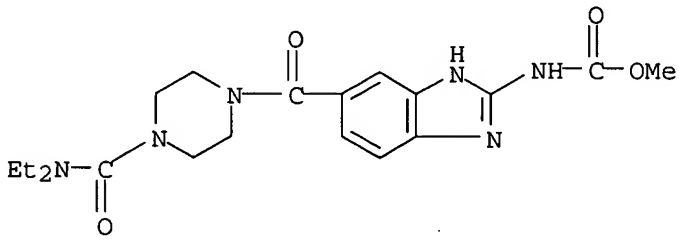
spindling; the IC50 for growth inhibition of L1210 cells was 0.70 μM for I (R = Me2CHO, R1 = Me) (II). II also had antifilarial activity against Brugia pahangi, litomosoides carnii, and Acanthocheilonema viteae.

IT **135696-89-8P 135696-90-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antineoplastic and antifilarial activity of)

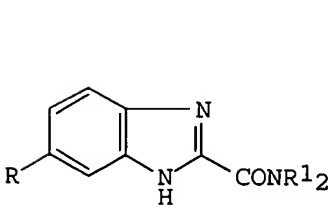
RN 135696-89-8 CAPLUS
CN Carbamic acid, [5-[[4-[(dimethylamino)carbonyl]-1-piperazinyl]carbonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



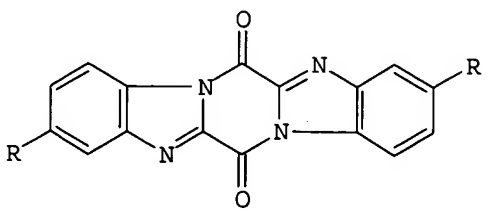
RN 135696-90-1 CAPLUS
CN Carbamic acid, [5-[[4-[(diethylamino)carbonyl]-1-piperazinyl]carbonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:408085 CAPLUS
DN 93:8085
TI Synthesis of benzimidazole-2-carboxamides as potential anthelmintic agents
AU Rastogi, Rashmi; Sharma, Satyavan; Iyer, R. N.
CS Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India
SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979), 18B(5), 464-7
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
OS CASREACT 93:8085
GI



I



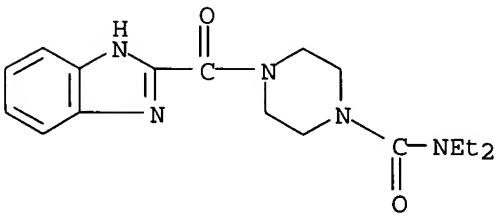
II

AB The benzimidazole-2-carboxamides I [R = H, Cl, NO2; R21N = (un)substituted piperazino, piperidino, pyrrolidino, etc.] were synthesized by the nucleophilic reaction of the corresponding amines with bisbenzimidazopyrazinediones II. Hydrolysis of II (R = H, R12 = 4-carbethoxypiperazino) gave II (R = H, R12 = piperazino). II did not have antihookworm activity against Nippostronglyus brasiliensis in rats and Nematospiroides dubius in mice. II are also inactive against various strains of bacteria and fungi.

IT **73903-11-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 73903-11-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-(1H-benzimidazol-2-ylcarbonyl)-N,N-diethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1972:72559 CAPLUS

DN 76:72559

TI 1,4-Bis(phthalimidocarbonyl)piperazines

IN Grigat, Ernst

PA Farbenfabriken Bayer A.-G.

SO Ger. Offen., 12 pp. Addn. to Ger. Offen. 1,936,127 (CA 74;87642k).
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2023078	A	19711125	DE 1970-2023078	19700512
PRAI	DE 1970-2023078	A	19700512		

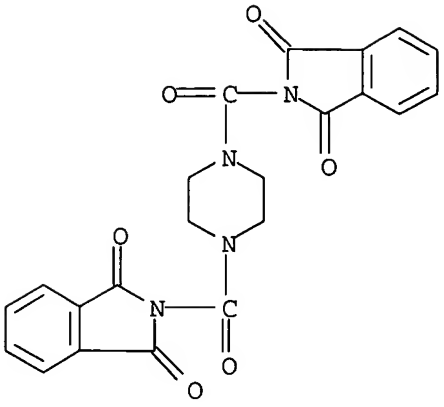
GI For diagram(s), see printed CA Issue.

AB The title compds. [I, R = H, R1 = H (II) or Me and R = Cl, R1 = H], useful as plant protecting agents, were prepared by reaction of phthalic anhydride (III) or its tetrachloro derivative with N,N'-dicyanopiperazine (IV) or its 2,5-dimethyl derivative, resp. Thus, 0.2 mole III and 0.1 mole IV was refluxed 2.5 hr in xylene to give 21 g II.

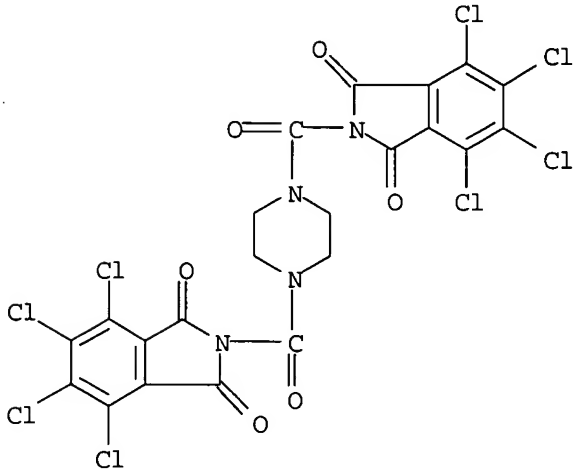
IT **35305-84-1P 35305-85-2P 35305-86-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35305-84-1 CAPLUS

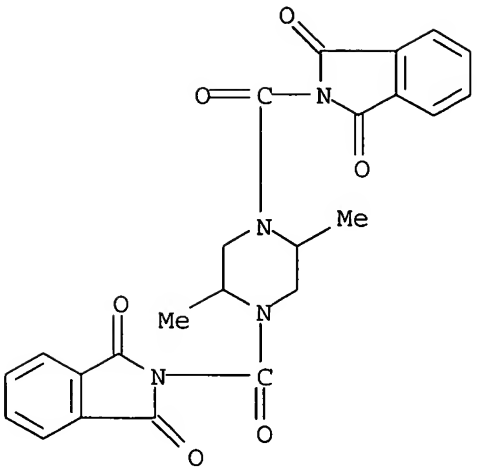
CN 1H-Isoindole-1,3(2H)-dione, 2,2'-(1,4-piperazinediyldicarbonyl)bis- (9CI) (CA INDEX NAME)



RN 35305-85-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2,2'-(1,4-piperazinediyldicarbonyl)bis[4,5,6,7-tetrachloro- (9CI) (CA INDEX NAME)



RN 35305-86-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2,2'-[(2,5-dimethyl-1,4-piperazinediyl)dicarbonyl]bis- (9CI) (CA INDEX NAME)



=> file caold

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[redacted]og h

[redacted]IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
[redacted]TIMATED COST	0.43	290.40

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